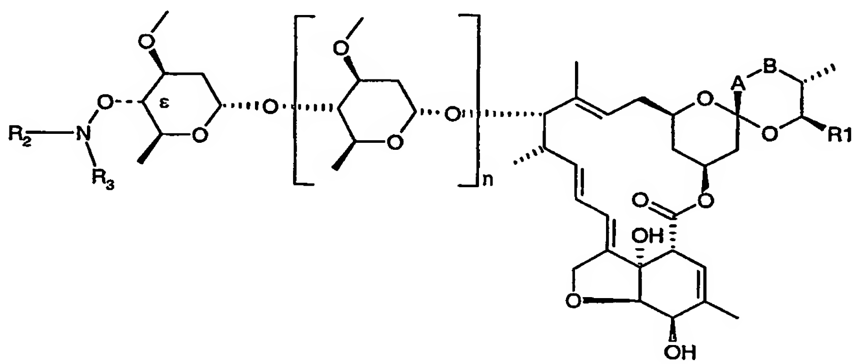
Avermectins substituted in the 4"and 4'-positions having pesticidal properties

The invention provides (1) a compound of the formula (I)



wherein

A-B is -CH=CH- or $-CH_2-CH_2-$;

n is 0 or 1;

R_1 is C_1-C_{12}alkyl, C_3-C_8cycloalkyl or C_2-C_{12}alkenyl;

R_2 and R_3 are either,

(i) independently from each other, -Q, -C(=Y)-Q, -C(=Y)-O-Q, -C(=Y)-N(R_6)-Q, $-SO_2Q$, $-SO_2N(R_6)Q$ or CN; or

(ii) together with the nitrogen atom to which they are bound form a three- to ten-membered ring, which may be monocyclic or bicyclic, which may be saturated or unsaturated, and that may contain, in addition to the aforesaid nitrogen atom, one to two hetero atoms selected from the group consisting of N, O and S, and which is either unsubstituted or independently of one another mono- to pentasubstituted with substituents selected from OH, =O, SH, =S, halogen, CN, SCN, N_3, NO_2, aryl, C_1-C_{12}alkyl, C_3-C_8cycloalkyl, C_1-C_{12}alkoxy, C_3-C_8cycloalkoxy, C_1-C_{12}haloalkoxy, C_1-C_{12}alkylthio, C_1-C_{12}cycloalkylthio, C_1-C_{12}haloalkylthio, C_1-C_6alkoxy-C_1-C_6alkyl, C_2-C_8alkenyl, C_2-C_6alkenyloxy, C_2-C_6haloalkenyloxy, C_2-C_8alkynyl, C_3-C_6haloalkynyloxy, C_2-C_6alkenylthio, C_2-C_6haloalkenylthio, C_1-C_6alkylsulfinyl, C_3-C_8cycloalkylsulfinyl, C_1-C_6haloalkylsulfinyl, C_3-C_8halocycloalkylsulfinyl, C_2-C_6alkenylsulfinyl, C_2-C_6haloalkenylsulfinyl, C_1-C_6alkylsulfonyl, C_3-C_8cycloalkylsulfonyl, C_1-C_6haloalkylsulfonyl, C_3-C_8halocycloalkylsulfonyl C_2-C_6alkenylsulfonyl, C_2-C_6haloalkenylsulfonyl, phenoxy, phenyl-C_1-C_6alkyl, trialkylsilyl; -C(=O)R_7, -O-C(=O)-R_8, -NH-C(=O)-R_8 and $-N(R_9)_2$, wherein the two R_9 are independent of each other; or

(iii) together are $=C(R_4)R_5$;

R_4 and R_5 are, independently from each other, -Q, -C(=Y)-Q, -C(=Y)-O-Q, -C(=Y)-N(R_6)-Q, -SO_2Q, -SO_2N(R_6)Q or CN; or

R_4 and R_5 are together with the carbon atom to which they are bound, a three- to ten-membered alkylene or a four- to seven-membered alkenylene bridge, wherein one CH_2 group in the alkylene or alkenylene may have been replaced by O, S or NR_9, and which is unsubstituted or mono to tri-substituted;

Y is O or S;

R_6 is H, C_1-C_8alkyl, C_3-C_8cycloalkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, phenyl, benzyl or -C(=O)R_7;

Q is H, unsubstituted or mono- to pentasubstituted C_1-C_{12}alkyl, unsubstituted or mono- to pentasubstituted C_2-C_{12}alkenyl, unsubstituted or mono- to pentasubstituted C_2-C_{12}alkynyl, unsubstituted or mono- to pentasubstituted C_3-C_{12}-cycloalkyl, unsubstituted or mono- to pentasubstituted C_5-C_{12}-cycloalkenyl, unsubstituted or mono- to pentasubstituted aryl, or unsubstituted or mono- to pentasubstituted heterocyclyl;

and wherein the substituents of the alkyl, alkenyl, alkynyl, alkylene, alkenylene, cycloalkyl, cycloalkenyl, aryl and heterocyclyl radicals mentioned under Q, R_2, R_3, R_4, R_5 and R_6 are selected from the group consisting of OH, =O, SH, =S, halogen, CN, SCN, SF_5, N_3, NO_2, aryl, C_3-C_8cycloalkyl, C_1-C_{12}haloalkyl, C_3-C_8halocycloalkyl, C_1-C_{12}alkoxy, C_3-C_8cycloalkoxy, C_1-C_{12}haloalkoxy, C_1-C_{12}alkylthio, C_1-C_{12}cycloalkylthio, C_1-C_{12}haloalkylthio, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_6-alkoxy-C_1-C_6-alkoxy, C_2-C_8alkenyl, C_2-C_6alkenyloxy, C_2-C_6haloalkenyl, C_2-C_6haloalkenyloxy, C_2-C_8alkynyl, C_2-C_6haloalkynyl, C_3-C_6alkynyloxy, C_3-C_6haloalkynyloxy, C_2-C_6alkenylthio, C_2-C_6haloalkenylthio, C_1-C_6alkylsulfinyl, C_3-C_8cycloalkylsulfinyl, C_1-C_6haloalkylsulfinyl, C_3-C_8halocycloalkylsulfinyl, C_2-C_6alkenylsulfinyl, C_2-C_6haloalkenylsulfinyl, C_1-C_6alkylsulfonyl, C_3-C_8cycloalkylsulfonyl, C_1-C_6haloalkylsulfonyl, C_3-C_8halocycloalkylsulfonyl C_2-C_6alkenylsulfonyl, C_2-C_6haloalkenylsulfonyl, phenoxy, phenyl-C_1-C_6alkyl, trialkylsilyl; -C(=O)R_7, -O-C(=O)-R_8, -NH-C(=O)-R_8, -N(R_9)$_2$, wherein the two R_9 are independent of each other, aryl, benzyl, heterocyclyl, aryloxy, benzyloxy, heterocyclyloxy, arylthio, benzylthio and heterocyclylthio; wherein the aryl, heterocyclyl, aryloxy, benzyloxy, heterocyclyloxy, arylthio, benzylthio and heterocyclylthio radicals are unsubstituted or, depending on the possibilities of substitution on the ring, are mono- to pentasubstituted by substituents selected from the group consisting of OH, =O, SH, =S, halogen, CN, NO_2,

C_1-C_{12}alkyl, C_1-C_{12}hydroxyalkyl, C_3-C_8cycloalkyl, C_1-C_{12}haloalkyl, C_1-C_{12}alkoxy, C_1-C_{12}haloalkoxy, C_1-C_{12}alkylthio, C_1-C_{12}haloalkylthio, C_1-C_6alkoxy-C_1-C_6alkyl, dimethylamino-C_1-C_6alkoxy, C_2-C_8alkenyl, C_2-C_8alkynyl, phenoxy, phenyl-C_1-C_6alkyl; methylenedioxy, -C(=O)R_7, -O-C(=O)-R_8, -NH-C(=O)R_7, -N(R_9)$_2$, wherein the two R_9 are independent of each other; C_1-C_6alkylsulfinyl, C_3-C_8cycloalkylsulfinyl, C_1-C_6haloalkylsulfinyl, C_3-C_8halocycloalkylsulfinyl, C_1-C_6alkylsulfonyl, C_3-C_8cycloalkylsulfonyl, C_1-C_6haloalkylsulfonyl and C_3-C_8halocycloalkylsulfonyl;

R_7 is H, OH, SH, -N(R_9)$_2$ wherein the two R_9 are independent of each other, C_1-C_{24}alkyl, C_2-C_{12}alkenyl, C_1-C_8hydroxyalkyl, C_1-C_{12}haloalkyl, C_1-C_{12}alkoxy, C_1-C_{12}haloalkoxy, C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_6alkoxy-C_1-C_6alkoxy, C_1-C_6alkoxy-C_1-C_6alkoxy-C_1-C_6alkyl, C_1-C_{12}alkylthio, C_2-C_8alkenyloxy, C_3-C_8alkynyloxy; aryl, benzyl, heterocyclyl, aryloxy, benzyloxy, heterocyclyloxy; or aryl, benzyl, heterocyclyl, aryloxy, benzyloxy or heterocyclyloxy, which are mono- to trisubstituted in the ring independently of one another by halogen, nitro, C_1-C_6alkyl, C_1-C_6alkoxy, C_1-C_6haloalkyl or C_1-C_6haloalkoxy;

R_8 is H, C_1-C_6alkyl that is optionally substituted with one to five substituents selected from the group consisting of halogen, C_1-C_6alkoxy, hydroxy and cyano, C_1-C_8-cycloalkyl, aryl, benzyl, heteroaryl; or aryl, benzyl or heteroaryl, which, depending on the possibilities of substitution on the ring, are mono- to trisubstituted by substituents selected from the group consisting of OH, halogen, CN, NO_2, C_1-C_{12}alkyl, C_1-C_{12}haloalkyl, C_1-C_{12}alkoxy, C_1-C_{12}haloalkoxy, C_1-C_{12}alkylthio and C_1-C_{12}haloalkylthio; and

R_9 is H; C_1-C_6alkyl, which is optionally substituted with one to five substituents selected from the group consisting of halogen, C_1-C_6alkoxy, hydroxy and cyano; C_1-C_8-cycloalkyl, aryl, benzyl, heteroaryl; or aryl, benzyl or heteroaryl, which, depending on the possibilities of substitution on the ring, are mono- to trisubstituted by substituents selected from the group consisting of OH, halogen, CN, NO_2, C_1-C_{12}alkyl, C_1-C_{12}haloalkyl, C_1-C_{12}alkoxy, C_1-C_{12}haloalkoxy, C_1-C_{12}alkylthio and C_1-C_{12}haloalkylthio;

or, if appropriate, an E/Z isomer, E/Z isomer mixture and/or tautomer thereof, in each case in fro form or in salt form;

a process for preparing these compounds, their isomers and tautomers and the use of these compounds, their isomers and tautomers; pesticides whose active compound is selected from these compounds and their tautomers; and a method for controlling pests using these compositions.

Hereinbefore and hereinafter, the bond at the ε-position marked by the symbol --- in formulae (I) to (III) indicates that the *(S)*- as well as the *(R)*-isomer is meant.

The literature proposes certain macrolide compounds for controlling pests. However, the biological properties of these known compounds are not entirely satisfactory, and, as a consequence, there is still a need for providing further compounds having pesticidal properties, in particular for the control of insects and representatives of the order Acarina. According to the invention, this object is achieved by providing the present compounds of the formula (I).

The compounds claimed according to the invention are derivatives of Avermectin. Avermectins are known to the person skilled in the art. They are a group of structurally closely related pesticidally active compounds which are obtained by fermenting a strain of the microorganism Streptomyces avermitilis. Derivatives of Avermectins can be obtained by conventional chemical syntheses.

The Avermectins which can be obtained from Streptomyces avermitilis are referred to as A1a, A1b, A2a, A2b, B1a, B1b, B2a and B2b. The compounds referred to as "A" and "B" have a methoxy radical and an OH group, respectively, in the 5-position. The "a" series and the "b" series are compounds in which the substituent R_1 (in position 25) is a sec-butyl radical and an isopropyl radical, respectively. The number 1 in the name of the compounds means that carbon atoms 22 and 23 are linked by double bonds; the number 2 means that they are linked by a single bond and that the C atom 23 carries an OH group. The above nomenclature is adhered to in the description of the present invention to denote the specific structure type in the not naturally occurring Avermectin derivatives according to the invention which corresponds to the naturally occurring Avermectin. What is for instance claimed according to the invention are derivatives of compounds of the B1 series, in particular mixtures of derivatives of Avermectin B1, especially B1a and B1b, along with derivatives having a single bond between carbon atoms 22 and 23, and derivatives having other substituents in the 25-position, as well as the corresponding monosaccharides.

Some of the compounds of the formula (I) can be present as tautomers. Accordingly, hereinabove and hereinbelow, the compounds of the formula (I) are, if appropriate, also to be understood as including the corresponding tautomers, even if the latter are not specifically mentioned in each case.

The compounds of formula (I) and, where applicable, their tautomers can form salts, for example acid addition salts. These acid addition salts are formed, for example, with

strong inorganic acids, such as mineral acids, for example sulfuric acid, a phosphoric acid or a hydrohalic acid, with strong organic carboxylic acids, such as unsubstituted or substituted, for example halo-substituted, C_1-C_4alkanecarboxylic acids, for example acetic acid, unsaturated or saturated dicarboxylic acids, for example oxalic acid, malonic acid, maleic acid, fumaric acid or phthalic acid, hydroxycarboxylic acids, for example ascorbic acid, lactic acid, malic acid, tartaric acid or citric acid, or benzoic acid, or with organic sulfonic acids, such as unsubstituted or substituted, for example halo-substituted, C_1-C_4alkane- or aryl-sulfonic acids, for example methane- or p-toluene-sulfonic acid. Compounds of formula (I) that have at least one acidic group can furthermore form salts with bases. Suitable salts with bases are, for example, metal salts, such as alkali metal salts or alkaline earth metal salts, for example sodium, potassium or magnesium salts, or salts with ammonia or with an organic amine, such as morpholine, piperidine, pyrrolidine, a mono-, di- or tri-lower alkylamine, for example ethylamine, diethylamine, triethylamine or dimethylpropylamine, or a mono-, di- or trihydroxy-lower alkylamine, for example mono-, di- or tri-ethanolamine. Corresponding internal salts may also be formed where appropriate. The free form is preferred. Among the salts of the compounds of formula (I), the agrochemically advantageous salts are preferred. Hereinbefore and hereinafter, any reference to the free compounds of formula (I) or their salts is to be understood as including, where appropriate, also the corresponding salts or the free compounds of formula (I), respectively. The same applies to tautomers of compounds of formula (I) and salts thereof.

Unless defined otherwise, the general terms used hereinabove and hereinbelow have the meanings given below.

Unless defined otherwise, carbon-containing groups and compounds each contain from 1 up to and including 6, preferably from 1 up to and including 4, especially 1 or 2, carbon atoms.

Halogen- as a group per se and also as a structural element of other groups and compounds, such as haloalkyl, haloalkoxy and haloalkylthio - is fluorine, chlorine, bromine or iodine, in particular fluorine, chlorine or bromine, especially fluorine or chlorine.

Alkyl - as a group per se and also as a structural element of other groups and compounds, such as haloalkyl, alkoxy and alkylthio - is, in each case taking into account the number of carbon atoms contained in each case in the group or compound in question, either straight-chain, i.e. methyl, ethyl, propyl, butyl, pentyl, hexyl, heptyl or octyl, or branched, for example isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl or isohexyl.

Cycloalkyl - as a group per se and also as a structural element of other groups and compounds, such as, for example, of halocycloalkyl, cycloalkoxy and cycloalkylthio - is, in each case taking into account the number of carbon atoms contained in each case in the group or compound in question, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl.

Alkenyl - as a group per se and also as a structural element of other groups and compounds - is, taking into account the number of carbon atoms and conjugated or isolated double bonds contained in the group, either straight-chain, for example vinyl, allyl, 2-butenyl, 3-pentenyl, 1-hexenyl, 1-heptenyl, 1,3-hexadienyl or 1,3-octadienyl, or branched, for example isopropenyl, isobutenyl, isoprenyl, tert-pentenyl, isohexenyl, isoheptenyl or isooctenyl. Preference is given to alkenyl groups having 3 to 12, in particular 3 to 6, especially 3 or 4, carbon atoms.

Alkynyl – as a group per se and also as a structural element of other groups and compounds - is, in each case taking into account the number of carbon atoms and conjugated or isolated double bonds contained in the group or compound in question, either straight-chain, for example ethynyl, propargyl, 2-butynyl, 3-pentynyl, 1-hexynyl, 1-heptynyl, 3-hexen-1-ynyl or 1,5-heptadien-3-ynyl, or branched, for example 3-methylbut-1-ynyl, 4-ethylpent-1-ynyl, 4-methylhex-2-ynyl or 2-methylhept-3-ynyl. Preference is given to groups $-CH_2-C_2-C_{11}$alkynyl, in particular $-CH_2-C_2-C_5$alkynyl, especially $-CH_2-C_2-C_3$alkynyl.

Alkylene and alkenylene are straight-chain or branched bridge members; they are in particular $-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-$, $-CH_2-CH_2-CH_2-CH_2-CH_2-$, $-CH_2(CH_3)CH_2-CH_2-$, $-CH_2C(CH_3)_2-CH_2-$, $-CH_2-CH=CH-$, $-CH_2-CH=CH-CH_2-$ or $-CH_2-CH=CH-CH_2-CH_2-$.

Halogen-substituted carbon-containing groups and compounds, such as, for example, halogen-substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy or alkylthio, can be partially halogenated or perhalogenated, where in the case of polyhalogenation the halogen substituents can be identical or different. Examples of haloalkyl - as a group per se and also as a structural element of other groups and compounds, such as haloalkoxy or haloalkylthio - are methyl which is mono- to trisubstituted by fluorine, chlorine and/or bromine, such as CHF_2 or CF_3; ethyl which is mono- to pentasubstituted by fluorine, chlorine and/or bromine, such as CH_2CF_3, CF_2CF_3, CF_2CCl_3, CF_2CHCl_2, CF_2CHF_2, CF_2CFCl_2, CF_2CHBr_2, CF_2CHClF, CF_2CHBrF or $CClFCHClF$; propyl or isopropyl which is mono- to heptasubstituted by fluorine, chlorine and/or bromine, such as $CH_2CHBrCH_2Br$, CF_2CHFCF_3, $CH_2CF_2CF_3$, $CF(CF_3)_2$

or $CH(CF_3)_2$; butyl or one of its isomers, mono- to nonasubstituted by fluorine, chlorine and/or bromine, such as $CF(CF_3)CHFCF_3$ or $CH_2(CF_2)_2CF_3$; pentyl or one of its isomers, mono- to undecasubstituted by fluorine, chlorine and/or bromine, such as $CF(CF_3)(CHF_2)CF_3$ or $CH_2(CF_2)_3CF_3$; and hexyl or one of its isomers, mono- to tridecasubstituted by fluorine, chlorine and/or bromine, such as $(CH_2)_4CHBrCH_2Br$, $CF_2(CHF)_4CF_3$, $CH_2(CF_2)_4CF_3$ or $C(CF_3)_2(CHF)_2CF_3$.

Aryl is in particular phenyl, naphthyl, anthracenyl, phenanthrenyl, perylenyl or fluorenyl, preferably phenyl.

Heterocyclyl is understood as being a three- to seven-membered monocyclic ring, which may be saturated or unsaturated, and that contains from one to three hetero atoms selected from the group consisting of N, O and S, especially N and S; or a bicyclic ring-system having from 8 to 14 ring atoms, which may be saturated or unsaturated, and that may contain either in only one ring or in both rings independently of one another, one or two hetero atoms selected from N, O and S.

Heterocyclyl is in particular piperidinyl, piperazinyl, oxiranyl, morpholinyl, thiomorpholinyl, pyridyl, N-oxidopyridinio, pyrimidyl, pyrazinyl, s-triazinyl, 1,2,4-triazinyl, thienyl, furanyl, dihydrofuranyl, tetrahydrofuranyl, pyranyl, tetrahydropyranyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, pyrazolyl, imidazolyl, imidazolinyl, thiazolyl, isothiazolyl, triazolyl, oxazolyl, thiadiazolyl, thiazolinyl, thiazolidinyl, oxadiazolyl, maleimidoyl, succinimidoyl, phthalimidoyl, benzothienyl, quinolinyl, quinoxalinyl, benzofuranyl, benzimidazolyl, benzpyrrolyl, benzthiazolyl, indolinyl, isoindolinyl, cumarinyl, indazolyl, benzothiophenyl, benzofuranyl, pteridinyl or purinyl, which are preferably attached via a C atom; thienyl, benzofuranyl, benzothiazolyl, tetrahydropyranyl or indolyl is preferred; in particular pyridyl or thiazolyl. The said heterocyclyl radicals may preferrably be unsubstituted or – depending on the substitution possibilities on the ring system - substituted by 1 to 4 substituents selected from the group consisting of halogen, =O, -OH, =S, SH, nitro, C_1-C_6alkyl, C_1-C_6hydroxyalkyl, C_1-C_6alkoxy, C_1-C_6haloalkyl, C_1-C_6haloalkoxy, phenyl, benzyl, -C(=O)-R_8 and -CH_2-C(=O)-R_8.

In the context of the present invention, preference is given to

(2) compounds according to group (1) of the formula (I) in which R_1 is isopropyl or sec-butyl, preferably to those in which a mixture of the isopropyl and the sec-butyl derivative is present;

(3) compounds according to group (1) of the formula (I) in which R_1 is cyclohexyl;

(4) compounds according to group (1) of the formula (I) in which R_1 is 1-methyl-butyl;

(5) compounds according to one of groups (1) to (4) of the formula (I) in which the configuration at the ε-position is *(S)*;

(6) compounds according to one of groups (1) to (4) of the formula (I) in which the configuration at the ε-position is *(R)*;

(7) compounds according to one of groups (1) to (6) of the formula (I) in which n is 1;

(8) compounds according to one of groups (1) to (6) of the formula (I) in which n is 0;

(9) compounds according to one of groups (1) to (8) of the formula (I) in which A-B is -CH=CH-;

(10) compounds according to one of groups (1) to (8) of the formula (I) in which A-B is $-CH_2-CH_2-$;

(11) compounds according to one of groups (1) to (10) of the formula (I) in which R_2 is -Q;

(12) compounds according to one of groups (1) to (10) of the formula (I) in which R_2 is -C(=O)-Q, -C(=O)-O-Q, $-C(=O)-N(R_6)-Q$, $-SO_2Q$ or $-SO_2N(R_6)Q$;

(13) compounds according to one of groups (1) to (12) of the formula (I) in which R_3 H, unsubstituted or mono- to pentasubstituted C_1-C_{12}alkyl, unsubstituted or mono- to pentasubstituted C_2-C_{12}alkenyl;

(14) compounds according to one of groups (1) to (10) of the formula (I) in which R_2 and R_3 together form with the nitrogen atom to which they are bound a five- to seven-membered ring, which may be monocyclic or bicyclic, which may be saturated or unsaturated, and that may contain, in addition to the aforesaid nitrogen atom, one or two hetero atoms selected from the group consisting of N, O and S, and which is either unsubstituted or independently of one another mono- trisubstituted with substituents selected from OH, =O, SH, =S, halogen, CN, NO_2, aryl, C_1-C_{12}alkyl, C_3-C_8cycloalkyl, C_1-C_{12}haloalkyl, C_1-C_{12}alkoxy, C_1-C_{12}haloalkoxy, C_1-C_{12}alkylthio, C_1-C_{12}haloalkylthio;

(15) compounds according to one of groups (1) to (10) or (14) of the formula (I) in which R_2 and R_3 together are a four- to six membered alkylene bridge, which is unsubstituted or mono to tri-substituted; especially unsubstituted;

(16) compounds according to one of groups (1) to (10), (14) or (15) of the formula (I) in which and NR_2R_3 is phtalimidoyl, maleimidoyl, succinimidoyl, which are unsubstituted or mono- to tetrasubstituted in the ring independently of one another by OH, halogen, CN, NO_2, aryl, C_1-C_{12}alkyl, C_3-C_8cycloalkyl, C_1-C_{12}haloalkyl, C_1-C_{12}alkoxy, C_1-C_{12}haloalkoxy, C_1-C_{12}alkylthio, C_1-C_{12}haloalkylthio, C_1-C_6alkoxy-C_1-C_6alkyl, C_2-C_8alkenyl, C_2-C_8alkynyl, phenoxy, phenyl-C_1-C_6alkyl; -C(=O)R_7, -O-C(=O)-R_8, -NH-C(=O)R_8, -N(R_9)$_2$, wherein the two R_9 are independent of each other; C_1-C_6alkylsulfinyl, C_3-C_8cycloalkylsulfinyl, C_1-C_6haloalkylsulfinyl, C_3-C_8halocycloalkylsulfinyl, C_1-C_6alkylsulfonyl, C_3-C_8cycloalkylsulfonyl, C_1-C_6haloalkylsulfonyl and C_3-C_8halocycloalkylsulfonyl;

(17) compounds according to one of groups (1) to (13) of the formula (I) in which Q is unsubstituted or mono-substituted aryl or unsubstituted or mono-substituted heterocyclyl;

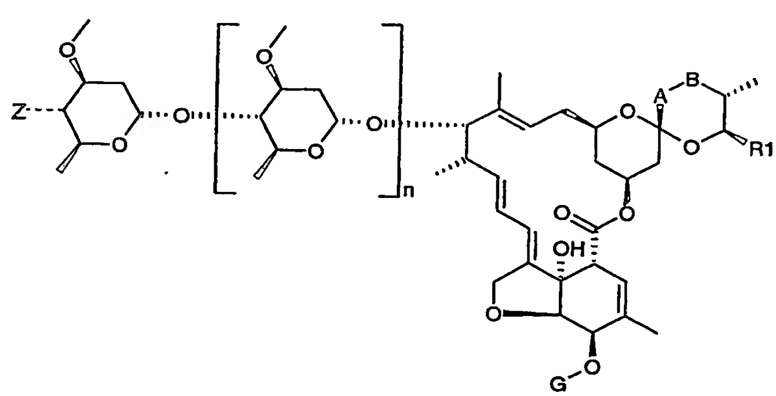
(18) compounds according to one of groups (1) to (13) of the formula (I) in which Q is H, C_1-C_{12}alkyl, C_2-C_{12}alkenyl, C_3-C_{12}alkynyl or C_3-C_{12}-cycloalkyl, which are all unsubstituted; preferably H or C_1-C_{12}alkyl;

(19) compounds according to one of groups (1) to (13) of the formula (I) in which Q is C_3-C_{12}-cycloalkyl, C_5-C_{12}-cycloalkenyl, aryl or heterocyclyl, which are all unsubstituted.

Special preference is given within the scope of the invention to the compounds of formula (I) listed in the Tables and, where applicable, their tautomers, their mixtures of tautomers, their E/Z isomers, mixtures of E/Z isomers and diastereomers.

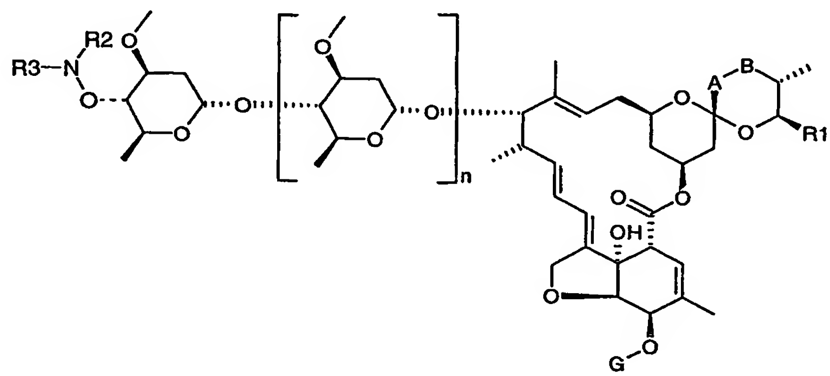
The invention also provides a process for preparing the compounds of the formula (I) and, if appropriate, tautomers thereof, wherein R_2 and R_3 are defined as under (1) which comprises

(A) reacting a compound of formula



(II),

wherein n and A-B are as defined in formula (I), G is a protecting group and Z is a leaving group such as chloride, bromide, iodide, alkylsulfonate, haloalkylsulfonate or arylsulfonate, and which is known or can be prepared by methods known *per se*, with a compound of formula R_2R_3NOH in which R_2 and R_3 have the meanings as given above for formula (I) under (1), to form a compound of the formula



(III),

wherein R_2, R_3, n, A-B are as defined for formula (I) and G is a protecting group; and

(B) removing the protecting group G of the compound of formula (III) so obtained, or

(C) for preparing a compound of the formula (I) wherein R_1, n and A-B are as defined for formula (I) and R_2 and R_3 are H, reacting a compound of formula (I), wherein R_1, n and A-B are as defined for formula (I) under (1), and R_2 and R_3 are as defined for formula (I) under (ii), with a compound of formula $(Q)_2N\text{-}NH_2$, or with a compound of formula $Q\text{-}NH_2$, in which the substituents Q independently of each other have the same meaning as given above under (1) for formula (I), or with a reducing agent; or

(D) for forming a compound of the formula (I), wherein R_1, n and A-B are as defined for formula (I) and R_2 and R_3 have the meaning as defined under (iii) for formula (I), reacting a compound of formula (I), in which n, A-B and R_1 have the same meanings as given above under (1) for formula (I) and R_2 and R_3 are H, with a compound $R_4\text{-}CO\text{-}R_5$, in which R_4 and R_5 have the same meanings as given above under (iii) for formula (I);

(E) for forming a compound of the formula (I), wherein R_1, n and A-B are as defined for formula (I) and R_2 and R_3 have the meanings as defined under (i) for formula (I), reacting a compound of formula (I), in which n, A-B, and R_1 have the same meanings as given above under (1) for formula (I), and R_2 and R_3 are H, with a compound $Q\text{-}X_2$, with a compound Q-C(=O)-Cl, Q-O-C(=O)-Cl, Q-C(=S)-Cl, Q-O-C(=S)-Cl, $Q\text{-}SO_2\text{-}Cl$, $Q\text{-}N(R_6)\text{-}SO_2\text{-}Cl$, $Q\text{-}N(R_6)\text{-}C(=O)\text{-}Cl$, $Q\text{-}N(R_6)\text{-}C(=S)\text{-}Cl$, Q-N=C=O or with a compound Q-N=C=S, in which Q

and R_6 have the same meaning as given above under (1) for formula (I) and X_2 is chloride, bromide, iodide, alkylsulfonate, haloalkylsulfonate or arylsulfonate, or

(F) reacting a compound of formula (III), in which n, A-B, R_1 and G have the same meanings as given above under (A) for formula (III) and in which R_2 and R_3 are H with a compound of the formula Q-X_2, Q-C(=O)-Cl, Q-O-C(=O)-Cl, Q-C(=S)-Cl, Q-O-C(=S)-Cl, Q-SO_2-Cl, Q-N(R_6)-SO_2-Cl, Q-N(R_6)-C(=O)-Cl, Q-N(R_6)-C(=S)-Cl, Q-N=C=O or Q-N=C=S, in which Q and R_6 have – with the exception of H - the same meaning as given above under (1) for formula (I) and X_2 is chloride, bromide, iodide, alkylsulfonate, haloalkylsulfonate or arylsulfonate, to form a compound of formula (III), in which n, A-B, R_1 and G have the same meanings as given above for formula (III), and in which R_2 and R_3 have the same meanings as given above under (i) for formula (I), provided that at least one of the substituents is not H; and

(G) removing the protecting group G of the compound of formula (III) so obtained, as described under (B), to form a compound of formula (I).

The reactions described hereinabove and hereinbelow are carried out in a manner known *per se*, for example in the absence or, customarily, in the presence of a suitable solvent or diluent or of a mixture thereof, the reactions being carried out, as required, with cooling, at room temperature or with heating, for example in a temperature range of approximately from -80°C to the boiling temperature of the reaction medium, preferably from approximately 0°C to approximately +150°C, and, if necessary, in a closed vessel, under pressure, under an inert gas atmosphere and/or under anhydrous conditions. Especially advantageous reaction conditions can be found in the Examples.

The reaction time is not critical; a reaction time of from about 0.1 to about 24 hours, especially from about 0.5 to about 10 hours, is preferred.

The product is isolated by customary methods, for example by means of filtration, crystallisation, distillation or chromatography, or any suitable combination of such methods.

The starting materials mentioned hereinabove and hereinbelow that are used for the preparation of the compounds of formula (I) and, where applicable, their tautomers are known or can be prepared by methods known *per se*, e.g. as indicated below.

Process variant (A):

Examples of solvents and diluents include: aromatic, aliphatic and alicyclic hydrocarbons and halogenated hydrocarbons, such as benzene, toluene, xylene, mesitylene, tetraline, chlorobenzene, dichlorobenzene, bromobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, trichloromethane, tetrachloromethane, dichloroethane, trichloroethene or tetrachloroethene; ethers, such as diethyl ether, dipropyl ether, diisopropyl ether, dibutyl ether, tert-butyl methyl ether, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, ethylene glycol dimethyl ether, dimethoxydiethyl ether, tetrahydrofuran or dioxane; alcohols, such as methanol, ethanol, propanol, isopropanol, butanol, ethylene glycol or glycerol; carboxylic acids, such as acetic acid, pivalic acid or formic acid; ketones, such as acetone, methyl ethyl ketone or methyl isobutyl ketone; carboxylic acid esters, such as methyl acetate, ethyl acetate, or esters of benzoic acid; amides, such as N,N-dimethylformamide, N,N-diethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone or hexamethylphosphoric acid triamide; nitriles, such as acetonitrile or propionitrile; and sulfoxides, such as dimethyl sulfoxide; and also water; or mixtures of the mentioned solvents; Preference is given to ethers, nitriles and amides, or mixtures thereof; acetonitrile is especially preferred.

Protecting groups G in the compounds of formulae (II) and (III) include: alkyl ether radicals, such as methoxymethyl, methylthiomethyl, tert-butylthiomethyl, benzyloxymethyl, p-methoxybenzyl, 2-methoxyethoxymethyl, 2,2,2-trichloroethoxymethyl, 2-(trimethylsilyl)ethoxymethyl, tetrahydropyranyl, tetrahydrofuranyl, 1-ethoxyethyl, 1-(2-chloroethoxy)ethyl, 1-methyl-1-methoxyethyl, 1-methyl-1-benzyloxyethyl, trichloroethyl, 2-trimethylsilylethyl, tert-butyl, allyl, p-methoxyphenyl, 2,4-dinitrophenyl, benzyl, p-methoxybenzyl, o-nitrobenzyl, p-nitrobenzyl, triphenylmethyl; trialkylsilyl radicals, such as trimethylsilyl, triethylsilyl, dimethyl-tert-butylsilyl, dimethyl-isopropylsilyl, dimethyl-1,1,2-trimethylpropylsilyl, diethyl-isopropylsilyl, dimethyl-tert-hexylsilyl, but also phenyl-tert-alkylsilyl groups, such as diphenyl-tert-butylsilyl; esters, such as formates, acetates, chloroacetates, dichloroacetates, trichloroacetates, trifluoroacetates, methoxyacetates, phenoxyacetates, pivaloates, benzoates; alkyl carbonates, such as methyl-, 9-fluorenylmethyl-, ethyl-, 2,2,2-trichloroethyl-, 2-(trimethylsilyl)ethyl-, vinyl-, allyl-, benzyl-, p-methoxybenzyl-, o-nitrobenzyl-, p-nitrobenzyl-, but also p-nitrophenyl-carbonate.

Preference is given to trialkylsilyl radicals, such as trimethylsilyl, triethylsilyl, dimethyl-tert-butylsilyl, diphenyl-tert-butylsilyl, esters, such as methoxyacetates and phenoxyacetates,

and carbonates, such as 9-fluorenylmethylcarbonates and allylcarbonates. Dimethyl-tert-butylsilyl ether is especially preferred.

The reactions are advantageously carried out in a temperature range of from about -70°C to the boiling point of the solvent used; preference being given to reaction at –10°C to 25°C; in the presence of a base, for example an inorganic base, such as sodium hydroxide, potassium hydroxide, sodium carbonate or sodium bicarbonate, or an organic base, such as pyridine, triethylamine, N-ethyl-N,N-diisopropylamine, 1,8-diazabicyclo[5.4.0]undec-7-ene, or 1,5-diazabicyclo[4.3.0]non-5-ene; or without the presence of a base; preference being given to 1,8-diazabicyclo[5.4.0]undec-7-ene.

Examples of a compound R_2R_3N-OH include substituted or unsubstituted N-hydroxy-maleimides and N-hydroxyphtalimides, for example N-hydroxyphtalimide.

In a preferred embodiment of Variant (A) the reaction is carried out with N-hydroxy-phtalimide at 0°C, in acetonitrile in the presence of 1,8-diazabicyclo[5.4.0]undec-7-ene.

Especially preferred conditions for this process variant are described in Examples A2.1 and A4.1.

Process variant (B):

Examples of solvents and diluents are the same as those mentioned under Process variant A. Preference is given to ethers; tetrahydrofuran is especially preferred.

The reactions are advantageously carried out in a temperature range of approx-imately from -70°C to 100°C, preferably at from -10°C to 25°C.

There are suitable for the removal of the protecting group Lewis acids, such as hydro-chloric acid, methanesulfonic acid, BF_3*OEt_2, HF in pyridine, $Zn(BF_4)_2$*H_2O, p-toluenesulfonic acid, $AlCl_3$, $HgCl_2$; ammonium fluoride, such as tetrabutylammonium fluoride; bases, such as ammonia, trialkylamine or heterocyclic bases; hydrogenolysis with a catalyst, such as palladium-on-carbon; reducing agents, such as sodium borohydride or tributyltin hydride with a catalyst, such as $Pd(PPh_3)_4$, or also zinc with acetic acid.

Preference is given to acids, such as methanesulfonic acid or HF in pyridine; sodium borohydride with Pd(0); bases, such as ammonia, triethylamine or pyridine; especially acids, such as HF in pyridine or methanesulfonic acid.

In a preferred embodiment of variant (B) the reaction is carried out with HF in pyridine at room temperature, in tetrahydrofuran.

Especially preferred conditions for the reaction are described in Example A2.1 and A4.1.

Process variant (C):

Examples of solvents and diluents are the same as those mentioned under Process variant A. Preference is given to alcohols; ethanol is especially preferred.

The reactions are advantageously carried out in a temperature range of from about -70°C to the boiling point of the solvent used; preference being given to reaction at 0°C to 30°C;

Examples of compounds of the formula $(Q)_2N\text{-}NH_2$ include hydrazines, for example hydrazine or methylhydrazine.

Examples of reducing agents are known to a person skilled in the art, they include hydrides; especially suitable are borohydrides, for example sodium borohydride or sodium cyanoborohydride.

In a preferred embodiment of variant (C) the reaction is carried out with hydrazine monohydrate at room temperature, in ethanol.

Especially preferred conditions for the reaction are described in Example A2.2 and A4.2.

Process variant (D):

Examples of solvents and diluents are the same as those mentioned under Process variant A. Preference is given to aromatic hydrocarbons, or mixtures thereof; toluene, dioxan and water are especially preferred.

The reactions are advantageously carried out in a temperature range of from about -70°C to the boiling point of the solvent used; preference being given to reaction at 25°C to 80°C; in the presence of a catalyst such as pyridinium p-toluenesulfonate; or without a catalyst.

Examples of compound $R_4\text{-CO-}R_5$ include ketones and aldehydes, for example acetaldehyde, glycolaldehyde, glyoxylic acid, benzaldehyde, acetone or cyclopentanone.

In a preferred embodiment of variant (D) the reaction is carried out in toluene at room temperature in the presence of pyridinium p-toluene sulfonate.

In another preferred embodiment of variant (D) the reaction is carried out in dioxan and water at room temperature in the presence of pyridinium p-toluene sulfonate. .

In another preferred embodiment of variant (D) the reaction is carried out in toluene at room temperature.

Especially preferred conditions for the reaction are described in Example A1.1, A1.2, A1.13 and A1.16.

Process variant (E):

Examples of solvents and diluents are the same as those mentioned under Process variant A. Preference is given to esters and water, or mixtures thereof; ethyl acetate and water are especially preferred.

The reactions are advantageously carried out in a temperature range of from about -70°C to the boiling point of the solvent used; preference being given to reaction at 25°C to 80°C; in the presence of a base, for example an inorganic base, such as sodium hydroxide, potassium hydroxide, sodium carbonate or sodium bicarbonate, or an organic base, such as pyridine, triethylamine, N-ethyl-N,N-diisopropylamine, 1,8-diazabicyclo[5.4.0]undec-7-ene, or 1,5-diazabicyclo[4.3.0]non-5-ene; or without the presence of a base; preference being given to sodium bicarbonate.

In a preferred embodiment of Variant (E) the reaction is carried out in the presence of bicarbonate at room temperature, in a mixture of ethyl acetate and water as the solvent.

Especially preferred conditions for the reaction are described in Example A2.11.

Process variant (F):

Examples of solvents and diluents are the same as those mentioned under Process variant A. Preference is given to halogenated hydrocarbons, or mixtures thereof; dichloromethane is especially preferred.

The reactions are advantageously carried out in a temperature range of from about -70°C to the boiling point of the solvent used; preference being given to reaction at 25°C to 80°C; in the presence of a base, for example an inorganic base, such as sodium hydroxide, potassium hydroxide, sodium carbonate or sodium bicarbonate, or an organic base, such as pyridine, triethylamine, N-ethyl-N,N-diisopropylamine, 1,8-diazabicyclo[5.4.0]undec-7-ene, or 1,5-diazabicyclo[4.3.0]non-5-ene; or without the presence of a base; preference being given to pyridine.

In a preferred embodiment of Variant (E) the reaction is carried out in the presence of bicarbonate at room temperature, in a mixture of ethyl acetate and water as the solvent.

Especially preferred conditions for the reaction are described in Example A2.11.

Process variant (G) is carried out by analogy to Process variant (B).

The comments made above in connection with tautomers of compounds o fthe formula (I) apply analogously to the staring materials and intermediates mentioned hereinbefore and hereinbelow with respect to their tautomers.

The compounds of formula (I) may be in the form of one of the possible isomers or in the form of a mixture thereof, in the form of pure isomers or in the form of an isomeric mixture, i.e. in the form of a diastereomeric mixture; the invention relates both to the pure isomers and to the diastereomeric mixtures and is to be interpreted accordingly hereinabove and hereinbelow, even if stereochemical details are not mentioned specifically in every case.

The diastereomeric mixtures can be resolved into the pure isomers by known methods, for example by recrystallisation from a solvent, by chromatography, for example high pressure liquid chromatography (HPLC) on acetylcellulose, with the aid of suitable microorganisms, by cleavage with specific, immobilised enzymes, or *via* the formation of inclusion compounds, for example using crown ethers, only one isomer being complexed.

Apart from the separation of corresponding mixtures of isomers, pure diasteroisomers can be obtained according to the invention also by generally known methods of stereo-selective synthesis, for example by carrying out the process according to the invention using starting materials having correspondingly suitable stereochemistry.

In each case it is advantageous to isolate or synthesize the biologically more active isomer, where the individual components have different biological activity.

The compounds of formula (I) may also be obtained in the form of their hydrates and/or may include other solvents, for example solvents which may have been used for the crystallisation of compounds in solid form.

The invention relates to all those embodiments of the process according to which a compound obtainable as starting material or intermediate at any stage of the process is used as starting material and all or some of the remaining steps are carried out, or in which a starting material is used in the form of a derivative and/or a salt and/or its diastereomers, or, especially, is formed under the reaction conditions. For instance compounds of formula (I) bearing a

functional group in its free or protected form can be used as starting materials for the preparation of further compounds of formula (I). For such manipulations methods known to the person skilled in the art can be applied.

In the processes of the present invention it is preferable to use those starting materials and intermediates which result in the compounds of formula (I) that are especially preferred.

The invention relates especially to the preparation processes described in the Examples.

In the area of pest control, the compounds of formula (I) according to the invention are active ingredients exhibiting valuable preventive and/or curative activity with a very advantageous biocidal spectrum and a very broad spectrum, even at low rates of concentration, while being well tolerated by warm-blooded animals, fish and plants. They are, surprisingly, equally suitable for controlling both plant pests and ecto- and endo-parasites in humans and more especially in productive livestock, domestic animals and pets. They are effective against all or individual development stages of normally sensitive animal pests, but also of resistant animal pests, such as insects and representatives of the order Acarina, nematodes, cestodes and trematodes, while at the same time protecting useful organisms. The insecticidal or acaricidal activity of the active ingredients according to the invention may manifest itself directly, i.e. in the mortality of the pests, which occurs immediately or only after some time, for example during moulting, or indirectly, for example in reduced oviposition and/or hatching rate. Good activity corresponds to a mortality of at least 50 to 60 %.

Successful control within the scope of the subject of the invention is possible, in particular, of pests from the orders Lepidoptera, Coleoptera, Orthoptera, Isoptera, Psocoptera, Anoplura, Mallophaga, Thysanoptera, Heteroptera, Homoptera, Hymenoptera, Diptera, Siphonaptera, Thysanura and Acarina, mainly Acarina, Diptera, Thysanoptera, Lepidoptera and Coleoptera. Very especially good control is possible of the following pests:

Abagrotis spp., Abraxas spp., Acantholeucania spp., Acanthoplusia spp., Acarus spp., Acarus siro, Aceria spp., Aceria sheldoni, Acleris spp., Acoloithus spp., Acompsia spp., Acossus spp., Acria spp., Acrobasis spp., Acrocercops spp., Acrolepia spp., Acrolepiopsis spp., Acronicta spp., Acropolitis spp., Actebia spp., Aculus spp., Aculus schlechtendali, Adoxophyes spp., Adoxophyes reticulana, Aedes spp., Aegeria spp., Aethes spp., Agapeta spp., Agonopterix spp., Agriopis spp., Agriotes spp., Agriphila spp., Agrochola spp., Agroperina spp., Alabama spp., Alabama argillaceae, Agrotis spp., Albuna spp., Alcathoe spp., Alcis spp., Aleimma spp., Aletia spp., Aleurothrixus spp., Aleurothrixus floccosus, Aleyrodes spp., Aleyrodes brassicae, Allo-

phyes spp., Alsophila spp., Amata spp., Amathes spp., Amblyomma spp., Amblyptilia spp., Ammoconia spp., Amorbia spp., Amphion spp., Amphipoea spp., Amphipyra spp., Amyelois spp., Anacamptodes spp., Anagrapha spp., Anarsia spp., Anatrychyntis spp., Anavitrinella spp., Ancylis spp., Andropolia spp., Anhimella spp., Antheraea spp., Antherigona spp., Antherigona soccata, Anthonomus spp., Anthonomus grandis, Anticarsia spp., Anticarsia gemmatalis, Aonidiella spp., Apamea spp., Aphania spp., Aphelia spp., Aphididae, Aphis spp., Apotomis spp., Aproaerema spp., Archippus spp., Archips spp., Acromyrmex, Arctia spp., Argas spp., Argolamprotes spp., Argyresthia spp., Argyrogramma spp., Argyroploce spp., Argyrotaenia spp., Arotrophora spp., Ascotis spp., Aspidiotus spp., Aspilapteryx spp., Asthenoptycha spp., Aterpia spp., Athetis spp., Atomaria spp., Atomaria linearis, Atta spp., Atypha spp., Autographa spp., Axylia spp., Bactra spp., Barbara spp., Batrachedra spp., Battaristis spp., Bembecia spp., Bemisia spp., Bemisia tabaci, Bibio spp., Bibio hortulanis, Bisigna spp., Blastesthia spp., Blatta spp., Blatella spp., Blepharosis spp., Bleptina spp., Boarmia spp., Bombyx spp., Bomolocha spp., Boophilus spp., Brachmia spp., Bradina spp., Brevipalpus spp., Brithys spp., Bryobia spp., Bryobia praetiosa, Bryotropha spp., Bupalus spp., Busseola spp., Busseola fusca, Cabera spp., Cacoecimorpha spp., Cadra spp., Cadra cautella, Caenurgina spp., Calipitrimerus spp., Callierges spp., Callophpora spp., Callophpora erythrocephala, Calophasia spp., Caloptilia spp., Calybites spp., Capnoptycha spp., Capua spp., Caradrina spp., Caripeta spp., Carmenta spp., Carposina spp., Carposina nipponensis, Catamacta spp., Catelaphris spp., Catoptria spp., Caustoloma spp., Celaena spp., Celypha spp., Cenopis spp., Cephus spp., Ceramica spp., Cerapteryx spp., Ceratitis spp, Ceratophyllus spp., Ceroplaster spp., Chaetocnema spp., Chaetocnema tibialis, Chamaesphecia spp., Charanvca spp., Cheimophila spp., Chersotis spp., Chiasmia spp., Chilo spp., Chionodes spp., Chorioptes spp., Choristoneura spp., Chrysaspidia spp., Chrysodeixis spp., Chrysomya spp., Chrysomphalus spp., Chrysomphalus dictyospermi, Chrysomphalus aonidium, Chrysoteuchia spp., Cilix spp., Cimex spp., Clysia spp., Clysia ambiguella, Clepsis spp., Cnaemidophorus spp., Cnaphalocrocis spp., Cnephasia spp., Coccus spp., Coccus hesperidum, Cochylis spp., Coleophora spp., Colotois spp., Commophila spp., Conistra spp., Conopomorpha spp., Corcyra spp., Cornutiplusia spp., Cosmia spp., Cosmopolites spp., Cosmopterix spp., Cossus spp., Costaeonvexa spp., Crambus spp., Creatonotos spp., Crocidolomia spp., Crocidolomia binotalis, Croesia spp., Crymodes spp., Cryptaspasma spp., Cryptoblabes spp., Cryptocala spp., Cryptophlebia spp., Cryptophlebia leucotreta, Cryptoptila spp., Ctenopseustis spp., Ctenocephalides spp., Cucullia spp., Curculio spp., Culex spp., Cuterebra spp., Cydia spp., Cydia pomonella, Cymbalophora spp., Dactylethra spp., Dacus spp., Dadica spp., Damalinea spp., Dasychira spp., Decadarchis spp., Decodes spp., Deilephila

spp., Deltodes spp., Dendrolimus spp., Depressaria spp., Dermestes spp., Dermanyssus spp., Dermanyssus gallinae, Diabrotica spp., Diachrysia spp., Diaphania spp., Diarsia spp., Diasemia spp., Diatraea spp., Diceratura spp., Dichomeris spp., Dichrocrocis spp., Dichrorampha spp., Dicycla spp., Dioryctria spp., Diparopsis spp., Diparopsis castanea, Dipleurina spp., Diprion spp., Diprionidae, Discestra spp., Distantiella spp., Distantiella theobroma, Ditula spp., Diurnea spp., Doratopteryx spp., Drepana spp., Drosphila spp., Drosphila melanogaster, Dysauxes spp., Dysdercus spp., Dysstroma spp., Eana spp., Earias spp., Ecclitica spp., Ecdytolopha spp., Ecpyrrhorrhoe spp., Ectomyelois spp., Eetropis spp., Egira spp., Elasmopalpus spp., Emmelia spp., mpoasca spp., Empyreuma spp., Enargia spp., Enarmonia spp., Endopiza spp., Endothenia spp., Endotricha spp., Eoreuma spp., Eotetranychus spp., Eotetranychus carpini, Epagoge spp., Epelis spp., Ephestia spp., Ephestiodes spp., Epiblema spp., Epiehoristodes spp., Epinotia spp., Epiphyas spp., Epiplema spp., Epipsestis spp., Epirrhoe spp., Episimus spp., Epitymbia spp., Epllachna spp., Erannis spp., Erastria spp., Eremnus spp., Ereunetis spp., Eriophyes spp., Eriosoma spp., Eriosoma lanigerum, Erythroneura spp., Estigmene spp., Ethmia spp., Etiella spp., Euagrotis spp., Eucosma spp., Euehlaena spp., Euelidia spp., Eueosma spp., Euchistus spp., Eucosmomorpha spp., Eudonia spp., Eufidonia spp., Euhyponomeutoides spp., Eulepitodes spp., Eulia spp., Eulithis spp., Eupithecia spp., Euplexia spp., Eupoecilia spp., Eupoecilia ambiguella, Euproctis spp., Eupsilia spp., Eurhodope spp., Eurois spp., Eurygaster spp., Eurythmia spp., Eustrotia spp., Euxoa spp., Euzophera spp., Evergestis spp., Evippe spp., Exartema spp., Fannia spp., Faronta spp., Feltia spp., Filatima spp., Fishia spp., Frankliniella spp., Fumibotys spp., Gaesa spp., Gasgardia spp., Gastrophilus spp., Gelechia spp., Gilpinia spp., Gilpinia polytoma, Glossina spp., Glyphipterix spp., Glyphodes spp., Gnorimoschemini spp., Gonodonta spp., Gortyna spp., Gracillaria spp., Graphania spp., Grapholita spp., Grapholitha spp., Gravitarmata spp., Gretchena spp., Griselda spp., Gryllotalpa spp., Gynaephora spp., Gypsonoma spp., Hada spp., Haematopinus spp., Halisidota spp., Harpipteryx spp., Harrisina spp., Hedya spp., Helicoverpa spp., Heliophobus spp., Heliothis spp., Hellula spp., Helotropa spp., Hemaris spp., Hercinothrips spp., Herculia spp., Hermonassa spp., Heterogenea spp., Holomelina spp., Homadaula spp., Homoeosoma spp., Homoglaea spp., Homohadena spp., Homona spp., Homonopsis spp., Hoplocampa spp., Hoplodrina spp., Hoshinoa spp., Hxalomma spp., Hydraecia spp., Hydriomena spp., Hyles spp., Hyloicus spp., Hypagyrtis spp., Hypatima spp., Hyphantria spp., Hyphantria cunea, Hypocala spp., Hypocoena spp., Hypodema spp., Hyppobosca spp., Hypsipyla spp., Hyssia spp., Hysterosia spp., Idaea spp., Idia spp., Ipimorpha spp., Isia spp., Isochorista spp., Isophrictis spp., Isopolia spp., Isotrias spp., Ixodes spp., Itame spp., Jodia spp., Jodis spp., Kawabea spp., Keiferia spp., Keiferia

lycopersicella, Labdia spp., Lacinipolia spp., Lambdina spp., Lamprothritpa spp., Laodelphax spp., Lasius spp., Laspeyresia spp., Leptinotarsa spp., Leptinotarsa decemlineata, Leptocorisa spp., Leptostales spp., Lecanium spp., Lecanium comi, Lepidosaphes spp., Lepisma spp., Lepisma saccharina , Lesmone spp., Leucania spp., Leucinodes spp., Leucophaea spp., Leucophaea maderae, Leucoptera spp., Leucoptera scitella, Linognathus spp., Liposcelis spp., Lissorhoptrus spp., Lithacodia spp., Lithocolletis spp., Lithomoia spp., Lithophane spp., Lixodessa spp., Lobesia spp., Lobesia botrana, Lobophora spp., Locusta spp., Lomanaltes spp., Lomographa spp., Loxagrotis spp., Loxostege spp., Lucilia spp., Lymantria spp., Lymnaecia spp., Lyonetia spp., Lyriomyza spp., Macdonnoughia spp., Macrauzata spp., Macronoctua spp., Macrosiphus spp., Malacosoma spp., Maliarpha spp., Mamestra spp., Mamestra brassicae, Manduca spp., Manduca sexta, Marasmia spp., Margaritia spp., Matratinea spp., Matsumuraeses spp., Melanagromyza spp., Melipotes spp., Melissopus spp., Melittia spp., Melolontha spp., Meristis spp., Meritastis spp., Merophyas spp., Mesapamea spp., Mesogona spp., Mesoleuca spp., Metanema spp., Metendothenia spp., Metzneria spp., Micardia spp., Microcorses spp., Microleon spp., Mnesictena spp., Mocis spp., Monima spp., Monochroa spp., Monomorium spp., Monomorium pharaonis, Monopsis spp., Morrisonia spp., Musca spp., Mutuuraia spp., Myelois spp., Mythimna spp., Myzus spp., Naranga spp., Nedra spp., Nemapogon spp., Neodiprion spp., Neosphaleroptera spp., Nephelodes spp., Nephotettix spp., Nezara spp., Nilaparvata spp., Niphonympha spp., Nippoptilia spp., Noctua spp., Nola spp., Notocelia spp., Notodonta spp., Nudaurelia spp., Ochropleura spp., Ocnerostoma spp., Oestrus spp., Olethreutes spp., Oligia spp., Olindia spp., Olygonychus spp., Olygonychus gallinae, Oncocnemis spp., Operophtera spp., Ophisma spp., Opogona spp., Oraesia spp., Orniodoros spp., Orgyia spp., Oria spp., Orseolia spp., Orthodes spp., Orthogonia spp., Orthosia spp., Oryzaephilus spp., Oscinella spp., Oscinella frit, Osminia spp., Ostrinia spp., Ostrinia nubilalis, Otiorhynchus spp., Ourapteryx spp., Pachetra spp., Pachysphinx spp., Pagyda spp., Paleacrita spp., Paliga spp., Palthis spp., Pammene spp., Pandemis spp., Panemeria spp., Panolis spp., Panolis flammea, Panonychus spp., Parargyresthia spp., Paradiarsia spp., Paralobesia spp., Paranthrene spp., Parapandemis spp., Parapediasia spp., Parastichtis spp., Parasyndemis spp., Paratoria spp., Pareromeme spp., Pectinophora spp., Pectinophora gossypiella, Pediculus spp., Pegomyia spp., Pegomyia hyoscyami, Pelochrista spp., Pennisetia spp., Penstemonia spp., Pemphigus spp., Peribatodes spp., Peridroma spp., Perileucoptera spp., Periplaneta spp., Perizoma spp., Petrova spp., Pexicopia spp., Phalonia spp., Phalonidia spp., Phaneta spp., Phlyctaenia spp., Phlyctinus spp., Phorbia spp., Phragmatobia spp., Phricanthes spp., Phthorimaea spp., Phthorimaea operculella, Phyllocnistis spp., Phyllocoptruta spp., Phyllo-

coptruta oleivora, Phyllonorycter spp., Phyllophila spp., Phylloxera spp., Pieris spp., Pieris rapae, Piesma spp., Planococus spp., Planotortrix spp., Platyedra spp., Platynota spp., Platyptilia spp., Platysenta spp., Plodia spp., Plusia spp., Plutella spp., Plutella xylostella, Podosesia spp., Polia spp., Popillia spp., Polymixis spp., Polyphagotarsonemus spp., Polyphagotarsonemus latus, Prays spp., Prionoxystus spp., Probole spp., Proceras spp., Prochoerodes spp., Proeulia spp., Proschistis spp., Proselena spp., Proserpinus spp., Protagrotis spp., Proteoteras spp., Protobathra spp., Protoschinia spp., Pselnophorus spp., Pseudaletia spp., Pseudanthonomus spp., Pseudaternelia spp., Pseudaulacaspis spp., Pseudexentera spp., Pseudococus spp., Pseudohermenias spp., Pseudoplusia spp., Psoroptes spp., Psylla spp., Psylliodes spp., Pterophorus spp., Ptycholoma spp., Pulvinaria spp., Pulvinaria aethiopica, Pyralis spp., Pyrausta spp., Pyrgotis spp., Pyrreferra spp., Pyrrharctia spp., Quadraspidiotus spp., Rancora spp., Raphia spp., Reticultermes spp., Retinia spp., Rhagoletis spp, Rhagoletis pomonella, Rhipicephalus spp., Rhizoglyphus spp., Rhizopertha spp., Rhodnius spp., Rhophalosiphum spp., Rhopobota spp., Rhyacia spp., Rhyacionia spp., Rhynchopacha spp., Rhyzosthenes spp., Rivula spp., Rondotia spp., Rusidrina spp., Rynchaglaea spp., Sabulodes spp., Sahlbergella spp., Sahlbergella singularis, Saissetia spp., Samia spp., Sannina spp., Sanninoidea spp., Saphoideus spp., Sarcoptes spp., Sathrobrota spp., Scarabeidae, Sceliodes spp., Schinia spp., Schistocerca spp., Schizaphis spp., Schizura spp., Schreckensteinia spp., Sciara spp., Scirpophaga spp., Scirthrips auranti, Scoparia spp., Scopula spp., Scotia spp., Scotinophara spp., Scotogramma spp., Scrobipalpa spp., Scrobipalpopsis spp., Semiothisa spp., Sereda spp., Sesamia spp., Sesia spp., Sicya spp., Sideridis spp., Simyra spp., Sineugraphe spp., Sitochroa spp., Sitobion spp., Sitophilus spp., Sitotroga spp., Solenopsis spp., Smerinthus spp., Sophronia spp., Spaelotis spp., Spargaloma spp., Sparganothis spp., Spatalistis spp., Sperchia spp., Sphecia spp., Sphinx spp., Spilonota spp., Spodoptera spp., Spodoptera littoralis, Stagmatophora spp., Staphylinochrous spp., Stathmopoda spp., Stenodes spp., Sterrha spp., Stomoxys spp., Strophedra spp., Sunira spp., Sutyna spp., Swammerdamia spp., Syllomatia spp., Sympistis spp., Synanthedon spp., Synaxis spp., Syncopacma spp., Syndemis spp., Syngrapha spp., Synthomeida spp., Tabanus spp., Taeniarchis spp., Taeniothrips spp., Tannia spp., Tarsonemus spp., Tegulifera spp., Tehama spp., Teleiodes spp., Telorta spp., Tenebrio spp., Tephrina spp., Teratoglaea spp., Terricula spp., Tethea spp., Tetranychus spp., Thalpophila spp., Thaumetopoea spp., Thiodia spp., Thrips spp., Thrips palmi, Thrips tabaci, Thyridopteryx spp., Thyris spp., Tineola spp., Tipula spp., Tortricidia spp., Tortrix spp., Trachea spp., Trialeurodes spp., Trialeurodes vaporariorum, Triatoma spp., Triaxomera spp., Tribolium spp., Tricodectes spp., Trichoplusia spp., Trichoplusia ni, Tricho-

ptilus spp., Trioza spp., Trioza erytreae, Triphaenia spp., Triphosa spp., Trogoderma spp., Tyria spp., Udea spp., Unaspis spp., Unaspis citri, Utetheisa spp., Valeriodes spp., Vespa spp., Vespamima spp., Vitacea spp., Vitula spp., Witlesia spp., Xanthia spp., Xanthorhoe spp., Xanthotype spp., Xenomicta spp., Xenopsylla spp., Xenopsylla cheopsis, Xestia spp., Xylena spp., Xylomyges spp., Xyrosaris spp., Yponomeuta spp., Ypsolopha spp., Zale spp., Zanclognathus spp., Zeiraphera spp., Zenodoxus spp., Zeuzera spp., Zygaena spp.,

It is also possible to control pests of the class Nematoda using the compounds according to the invention. Such pests include, for example,

root knot nematodes, cyst-forming nematodes and also stem and leaf nematodes;

especially of Heterodera spp., e.g. Heterodera schachtii, Heterodora avenae and Heterodora trifolii; Globodera spp., e.g. Globodera rostochiensis; Meloidogyne spp., e.g. Meloidogyne incognita and Meloidogyne javanica; Radopholus spp., e.g. Radopholus similis; Pratylenchus, e.g. Pratylenchus neglectans and Pratylenchus penetrans; Tylenchulus, e.g. Tylenchulus semipenetrans; Longidorus, Trichodorus, Xiphinema, Ditylenchus, Apheenchoides and Anguina; especially Meloidogyne, e.g. Meloidogyne incognita, and Heterodera, e.g. Heterodera glycines.

An especially important aspect of the present invention is the use of the compounds of formula (I) according to the invention in the protection of plants against parasitic feeding pests.

The action of the compounds according to the invention and the compositions comprising them against animal pests can be significantly broadened and adapted to the given circumstances by the addition of other insecticides, acaricides or nematicides. Suitable additives include, for example, representatives of the following classes of active ingredient: organophosphorus compounds, nitrophenols and derivatives, formamidines, ureas, carbamates, pyrethroids, chlorinated hydrocarbons, neonicotinoids and Bacillus thuringiensis preparations.

Examples of especially suitable mixing partners include: azamethiphos; chlorfenvinphos; cypermethrin, cypermethrin high-cis; cyromazine; diafenthiuron; diazinon; dichlorvos; dicrotophos; dicyclanil; fenoxycarb; fluazuron; furathiocarb; isazofos; iodfenphos; kinoprene; lufenuron; methacriphos; methidathion; monocrotophos; phosphamidon; profenofos; diofenolan; a compound obtainable from the Bacillus thuringiensis strain GC91 or from strain NCTC11821; pymetrozine; bromopropylate; methoprene; disulfoton; quinalphos; tau-fluvalinate; thiocyclam; thiometon; aldicarb; azinphos-methyl; benfuracarb; bifenthrin; buprofezin; carbofuran; dibutylaminothio; cartap; chlorfluazuron; chlorpyrifos; clothianidin; cyfluthrin; lambda-cyhalothrin;

alpha-cypermethrin; zeta-cypermethrin; deltamethrin; diflubenzuron; endosulfan; ethiofencarb; fenitrothion; fenobucarb; fenvalerate; formothion; methiocarb; heptenophos; imidacloprid; isoprocarb; methamidophos; methomyl; mevinphos; parathion; parathion-methyl; phosalone; pirimicarb; propoxur; teflubenzuron; terbufos; triazamate; fenobucarb; tebufenozide; fipronil; beta-cyfluthrin; silafluofen; fenpyroximate; pyridaben; pyridalyl; fenazaquin; pyriproxyfen; pyrimidifen; nitenpyram; acetamiprid; emamectin; emamectin-benzoate; spinosad; a plant extract that is active against insects; a preparation that comprises nematodes and is active against insects; a preparation obtainable from Bacillus subtilis; a preparation that comprises fungi and is active against insects; a preparation that comprises viruses and is active against insects; chlorfenapyr; acephate; acrinathrin; alanycarb; alphamethrin; amitraz; AZ 60541; azinphos A; azinphos M; azocyclotin; bendiocarb; bensultap; beta-cyfluthrin; brofenprox; bromophos A; bufencarb; butocarboxin; butylpyridaben; cadusafos; carbaryl; carbophenothion; chloethocarb; chlorethoxyfos; chlormephos; cis-resmethrin; clocythrin; clofentezine; cyanophos; cycloprothrin; cyhexatin; demeton M; demeton S; demeton-S-methyl; dichlofenthion; dicliphos; diethion; dimethoate; dimethylvinphos; dinotefuran; dioxathion; edifenphos; esfenvalerate; ethion; ethofenprox; ethoprophos; etrimphos; fenamiphos; fenbutatin oxide; fenothiocarb; fenpropathrin; fenpyrad; fenthion; fluazinam; flucycloxuron; flucythrinate; flufenoxuron; flufenprox; fonophos; fosthiazate; fubfenprox; HCH; hexaflumuron; hexythiazox; flonicamid; iprobenfos; isofenphos; isoxathion; ivermectin; malathion; mecarbam; mesulfenphos; metaldehyde; metolcarb; milbemectin; moxidectin; naled; NC 184; nithiazine; omethoate; oxamyl; oxydemethon M; oxydeprofos; permethrin; phenthoate; phorate; phosmet; phoxim; pirimiphos M; pirimiphos E; promecarb; propaphos; prothiofos; prothoate; pyrachlophos; pyradaphenthion; pyresmethrin; pyrethrum; tebufenozide; salithion; sebufos; sulfotep; sulprofos; tebufenpyrad; tebupirimphos; tefluthrin; temephos; terbam; tetrachlorvinphos; thiacloprid; thiafenox; thiamethoxam; thiodicarb; thiofanox; thionazin; thuringiensin; tralomethrin; triarathene; triazophos; triazuron; trichlorfon; triflumuron; trimethacarb; vamidothion; xylylcarb; etoxazole; zetamethrin; indoxacarb; methoxyfenozide; bifenazate; XMC (3,5-xylyl methylcarbamate); or the fungus pathogen Metarhizium anisopliae.

The compounds according to the invention can be used to control, i.e. to inhibit or destroy, pests of the mentioned type occurring on plants, especially on useful plants and ornamentals in agriculture, in horticulture and in forestry, or on parts of such plants, such as the fruits, blossoms, leaves, stems, tubers or roots, while in some cases plant parts that grow later are still protected against those pests.

Target crops include especially cereals, such as wheat, barley, rye, oats, rice, maize and sorghum; beet, such as sugar beet and fodder beet; fruit, e.g. pomes, stone fruit and soft fruit, such as apples, pears, plums, peaches, almonds, cherries and berries, e.g. strawberries, raspberries and blackberries; leguminous plants, such as beans, lentils, peas and soybeans; oil plants, such as rape, mustard, poppy, olives, sunflowers, coconut, castor oil, cocoa and groundnuts; cucurbitaceae, such as marrows, cucumbers and melons; fibre plants, such as cotton, flax, hemp and jute; citrus fruits, such as oranges, lemons, grapefruit and mandarins; vegetables, such as spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes and paprika; lauraceae, such as avocado, cinnamon and camphor; and tobacco, nuts, coffee, aubergines, sugar cane, tea, pepper, vines, hops, bananas, natural rubber plants and ornamentals.

Further areas of use of the compounds according to the invention are the protection of stored goods and storerooms and the protection of raw materials, and also in the hygiene sector, especially the protection of domestic animals and productive livestock against pests of the mentioned type, more especially the protection of domestic animals, especially cats and dogs, from infestation by fleas, ticks and nematodes.

The invention therefore relates also to pesticidal compositions, such as emulsifiable concentrates, suspension concentrates, directly sprayable or dilutable solutions, spreadable pastes, dilute emulsions, wettable powders, soluble powders, dispersible powders, wettable powders, dusts, granules and encapsulations of polymer substances, that comprise at least one of the compounds according to the invention, the choice of formulation being made in accordance with the intended objectives and the prevailing circumstances.

The active ingredient is used in those compositions in pure form, a solid active ingredient, for example, in a specific particle size, or preferably together with at least one of the adjuvants customary in formulation technology, such as extenders, e.g. solvents or solid carriers, or surface-active compounds (surfactants). In the area of parasite control in humans, domestic animals, productive livestock and pets it will be self-evident that only physiologically tolerable additives are used.

Solvents are, for example: non-hydrogenated or partly hydrogenated aromatic hydrocarbons, preferably fractions C_8 to C_{12} of alkylbenzenes, such as xylene mixtures, alkylated naphthalenes or tetrahydronaphthalene, aliphatic or cycloaliphatic hydrocarbons, such as paraffins or cyclohexane, alcohols, such as ethanol, propanol or butanol, glycols and ethers and esters thereof, such as propylene glycol, dipropylene glycol ether, ethylene glycol or ethylene

glycol monomethyl or -ethyl ether, ketones, such as cyclohexanone, isophorone or diacetone alcohol, strongly polar solvents, such as N-methylpyrrolid-2-one, dimethyl sulfoxide or N,N-dimethylformamide, water, non-epoxidized or epoxidized plant oils, such as non-epoxidized or epoxidized rapeseed, castor, coconut or soya oil, and silicone oils.

The solid carriers used, for example for dusts and dispersible powders, are as a rule natural rock powders, such as calcite, talc, kaolin, montmorillonite or attapulgite. Highly disperse silicic acids or highly disperse absorbent polymers can also be added to improve the physical properties. Granular adsorptive granule carriers are porous types, such as pumice, crushed brick, sepiolite or bentonite, and non-sorbent carrier materials are calcite or sand. A large number of granular materials of inorganic or organic nature can furthermore be used, in particular dolomite or comminuted plant residues.

Surface-active compounds are, depending on the nature of the active compound to be formulated, nonionic, cationic and/or anionic surfactants or surfactant mixtures with good emulsifying, dispersing and wetting properties. The surfactants listed below are to be regarded only as examples; many other surfactants which are customary in formulation technology and are suitable according to the invention are described in the relevant literature.

Nonionic surfactants are, in particular, polyglycol ether derivatives of aliphatic or cycloaliphatic alcohols, saturated or unsaturated fatty acids and alkylphenols, which can contain 3 to 30 glycol ether groups and 8 to 20 carbon atoms in the (aliphatic) hydrocarbon radical and 6 to 18 carbon atoms in the alkyl radical of the alkylphenols. Substances which are furthermore suitable are water-soluble polyethylene oxide adducts, containing 20 to 250 ethylene glycol ether and 10 to 100 propylene glycol ether groups, on propylene glycol, ethylene diaminopolypropylene glycol and alkyl polypropylene glycol having 1 to 10 carbon atoms in the alkyl chain. The compounds mentioned usually contain 1 to 5 ethylene glycol units per propylene glycol unit. Examples are nonylphenol-polyethoxyethanols, castor oil polyglycol ethers, polypropylene-polyethylene oxide adducts, tributylphenoxypoly-ethoxyethanol, polyethylene glycol and octylphenoxypolyethoxyethanol. Other substances are fatty acid esters of polyoxyethylene sorbitan, such as polyoxyethylene sorbitan trioleate.

The cationic surfactants are, in particular, quaternary ammonium salts which contain, as substituents, at least one alkyl radical having 8 to 22 C atoms and, as further substituents, lower, non-halogenated or halogenated alkyl, benzyl or lower hydroxyalkyl radicals. The salts are preferably in the form of halides, methyl-sulfates or ethyl-sulfates. Examples are stearyl-trimethyl-ammonium chloride and benzyl-di-(2-chloroethyl)-ethyl-ammonium bromide.

Suitable anionic surfactants can be both water-soluble soaps and water-soluble synthetic surface-active compounds. Suitable soaps are the alkali metal, alkaline earth metal and substituted or unsubstituted ammonium salts of higher fatty acids (C_{10}-C_{22}), such as the sodium or potassium salts of oleic or stearic acid, or of naturally occurring fatty acid mixtures, which can be obtained, for example, from coconut oil or tall oil; and furthermore also the fatty acid methyl-taurine salts. However, synthetic surfactants are more frequently used, in particular fatty sulfonates, fatty sulfates, sulfonated benzimidazole derivatives or alkylarylsulfonates. The fatty sulfonates and sulfates are as a rule in the form of alkali metal, alkaline earth metal or substituted or unsubstituted ammonium salts and in general have an alkyl radical of 8 to 22 C atoms, alkyl also including the alkyl moiety of acyl radicals; examples are the sodium or calcium salt of ligninsulfonic acid, of dodecylsulfuric acid ester or of a fatty alcohol sulfate mixture prepared from naturally occurring fatty acids. These also include the salts of sulfuric acid esters and sulfonic acids of fatty alcohol-ethylene oxide adducts. The sulfonated benzimidazole derivatives preferably contain 2 sulfonic acid groups and a fatty acid radical having about 8 to 22 C atoms. Alkylarylsulfonates are, for example, the sodium, calcium or triethanolammonium salts of dodecylbenzenesulfonic acid, of dibutylnaphthalenesulfonic acid or of a naphthalenesulfonic acid-formaldehyde condensation product. Corresponding phosphates, such as salts of the phosphoric acid ester of a p-nonylphenol-(4-14)-ethylene oxide adduct or phospholipids, can further also be used.

The compositions as a rule comprise 0.1 to 99 %, in particular 0.1 to 95 %, of active compound and 1 to 99.9 %, in particular 5 to 99.9 %, of - at least - one solid or liquid auxiliary, it being possible as a rule for 0 to 25 %, in particular 0.1 to 20 %, of the composition to be surfactants (% is in each case per cent by weight). While concentrated compositions are more preferred as commercial goods, the end user as a rule uses dilute compositions which comprise considerably lower concentrations of active compound. Preferred compositions are composed, in particular, as follows (% = per cent by weight):

<u>Emulsifiable concentrates</u>:

active ingredient:	1 to 90%, preferably 5 to 20%
surfactant:	1 to 30%, preferably 10 to 20%
solvent:	5 to 98%, preferably 70 to 85%

<u>Dusts</u>:

active ingredient:	0.1 to 10%, preferably 0.1 to 1%
solid carrier:	99.9 to 90%, preferably 99.9 to 99%

<u>Suspension concentrates</u>:

active ingredient:	5 to 75%, preferably 10 to 50%
water:	94 to 24%, preferably 88 to 30%
surfactant:	1 to 40%, preferably 2 to 30%

<u>Wettable powders</u>:

active ingredient:	0.5 to 90%, preferably 1 to 80%
surfactant:	0.5 to 20%, preferably 1 to 15%
solid carrier:	5 to 99%, preferably 15 to 98%

<u>Granules</u>:

active ingredient:	0.5 to 30%, preferably 3 to 15%
solid carrier:	99.5 to 70%, preferably 97 to 85%

The compositions according to the invention may also comprise further solid or liquid adjuvants, such as stabilisers, e.g. vegetable oils or epoxidised vegetable oils (e.g. epoxidised coconut oil, rapeseed oil or soybean oil), antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders and/or tackifiers as well as fertilisers or other active ingredients for obtaining special effects, e.g. acaricides, bactericides, fungicides, nematicides, molluscicides or selective herbicides.

The crop protection products according to the invention are prepared in known manner, in the absence of adjuvants, e.g. by grinding, sieving and/or compressing a solid active ingredient or mixture of active ingredients, for example to a certain particle size, and in the presence of at least one adjuvant, for example by intimately mixing and/or grinding the active ingredient or mixture of active ingredients with the adjuvant(s). The invention relates likewise to those pro-cessses for the preparation of the compositions according to the invention and to the use of the compounds of formula (I) in the preparation of those compositions.

The invention relates also to the methods of application of the crop protection products, i.e. the methods of controlling pests of the mentioned type, such as spraying, atomising, dusting, coating, dressing, scattering or pouring, which are selected in accordance with the intended objectives and the prevailing circumstances, and to the use of the compositions for controlling pests of the mentioned type. Typical rates of concentration are from 0.1 to 1000 ppm, preferably from 0.1 to 500 ppm, of active ingredient. The rates of application per

hectare are generally from 1 to 2000 g of active ingredient per hectare, especially from 10 to 1000 g/ha, preferably from 20 to 600 g/ha, more especially from 20 to 100 g/ha.

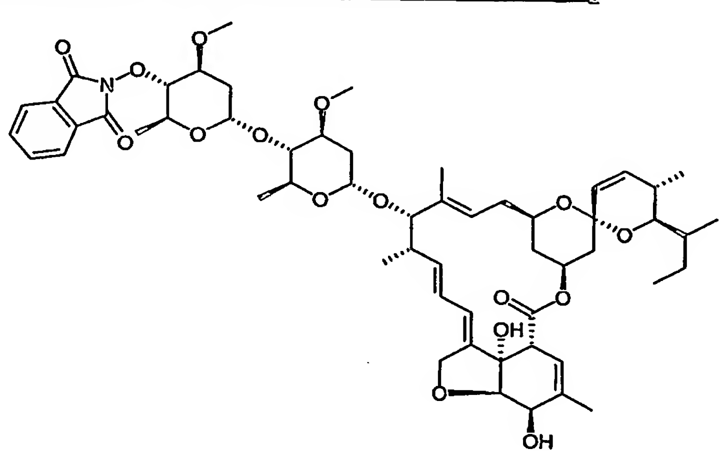
A preferred method of application in the area of crop protection is application to the foliage of the plants (foliar application), the frequency and the rate of application being dependent upon the risk of infestation by the pest in question. However, the active ingredient can also penetrate the plants through the roots (systemic action) when the locus of the plants is impregnated with a liquid formulation or when the active ingredient is incorporated in solid form into the locus of the plants, for example into the soil, e.g. in granular form (soil application). In the case of paddy rice crops, such granules may be applied in metered amounts to the flooded rice field.

The crop protection products according to the invention are also suitable for protecting plant propagation material, e.g. seed, such as fruits, tubers or grains, or plant cuttings, against animal pests. The propagation material can be treated with the composition before planting: seed, for example, can be dressed before being sown. The active ingredients according to the invention can also be applied to grains (coating), either by impregnating the seeds in a liquid formulation or by coating them with a solid formulation. The composition can also be applied to the planting site when the propagation material is being planted, for example to the seed furrow during sowing. The invention relates also to such methods of treating plant propagation material and to the plant propagation material so treated.

The following Examples serve to illustrate the invention. They do not limit the invention. Temperatures are given in degrees Celsius; mixing ratios of solvents are given in parts by volume. In the examples, TBDMS is t-butyl-dimethylsilyl

Preparation Examples:

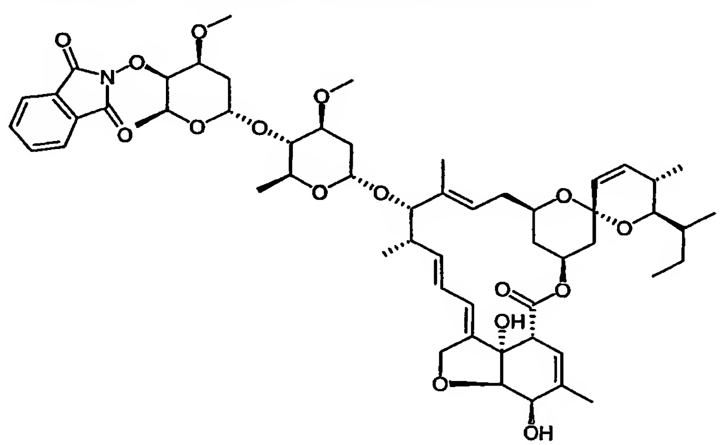
Example A2.1: 4"-Desoxy-4"-*(S)*-phtalimidooxy-avermectin B_1



Step A: 1.0 g of 5-OTBDMS-4"-desoxy-avermectin B_1-4"-*(R)*-trifluoromethanesulfonate and 0.44 g of N-hydroxyphtalimide is dissolved in 20 ml acetonitrile. The solution is cooled to 0°C then 0.2 ml of 1,8-diazabicyclo[5.4.0]undec-7-ene in 2 ml acetonitrile are added dropwise over 15 min. The reaction mixture is stirred at room temperature for 3 hours, diluted with ethyl acetate, washed with saturated ammonium chloride, water and brine. The organic phase is dried over sodium sulfate, and concentrated *in vacuo*. The residue is purified by flash-chromatography (silica gel hexane/ethyl acetate 8/2) providing 5-OTBDMS-4"-desoxy-4"-*(S)*-phtalimidooxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Step B: To a solution of 0.54 g of 5-OTBDMS-4"-desoxy-4"-*(S)*-phtalimidooxy-avermectin B_1 in 10 ml tetrahydrofuran is added 2 ml of a HF-pyridine solution (consisting of 25 g 70% HF-Pyridin, 27,5 ml tetrahydrofuran and 12,5 ml pyridine), and the mixture is stirred at room temperature for 24 hours, poured into water, extracted with ethyl acetate; the organic phase is washed with saturated sodium bicarbonate, dried over sodium sulfate, and concentrated *in vacuo*. The residue is purified by flash-chromatography (silica gel hexane/ethyl acetate 6/4) providing 4"-desoxy-4"-*(S)*-phtalimidooxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A4.1: 4"-Desoxy-4"-*(R)*-phtalimidooxy-avermectin B_1

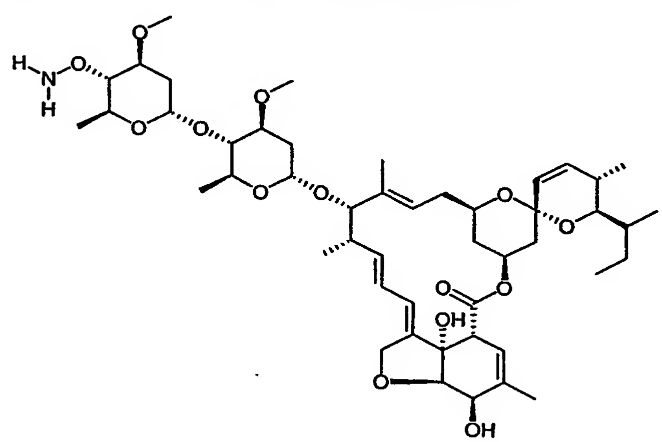


Step A: 1.0 g of 5-OTBDMS-4"-desoxy-avermectin B_1-4"-*(S)*-trifluoromethanesulfonate and 0.44 g of N-hydroxyphtalimide is dissolved in 20 ml acetonitrile. The solution is cooled to 0°C then 0.2 ml of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) in 2 ml acetonitrile are added dropwise over 15 min. The reaction mixture is stirred at room temperature for 3 hours, diluted with ethyl acetate, washed with saturated ammonium chloride, water and brine. The organic phase is dried over sodium sulfate, and concentrated *in vacuo*. The residue is

purified by flash-chromatography (silica gel hexane/ethyl acetate 85/15) providing 5-OTBDMS-4"-desoxy-4"-*(R)*-phtalimidooxy-avermectin B_1 which is characterized by its mass and NMR spectra.

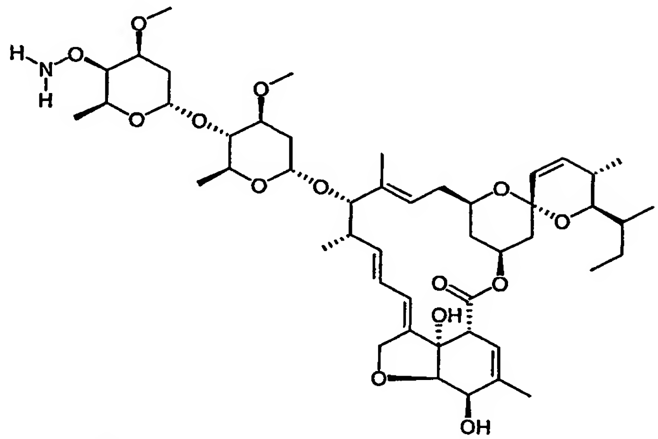
Step B: To a solution of 0.54 g of 5-OTBDMS-4"-desoxy-4"-*(R)*-phtalimidooxy-avermectin B_1 in 10 ml tetrahydrofuran is added 2 ml of a HF-pyridine solution (consisting of 25 g 70% HF-Pyridin, 27,5 ml tetrahydrofuran and 12,5 ml pyridine), and the mixture is stirred at room temperature for 24 hours, poured into water, extracted with ethyl acetate; the organic phase is washed with saturated sodium bicarbonate, dried over sodium sulfate, and concentrated *in vacuo*. The residue is purified by flash-chromatography (silica gel hexane/ethyl acetate 6/4) providing 4"-desoxy-4"-*(R)*-phtalimidooxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A2.2: 4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1



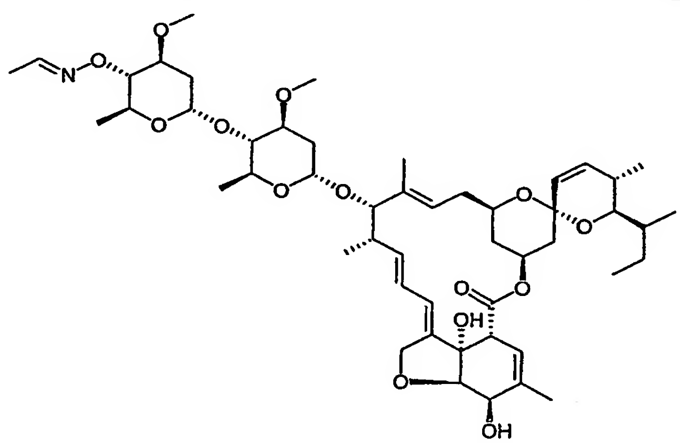
To a solution of 0.4 g of 4"-desoxy-4"-*(S)*-phtalimidooxy-avermectin B_1 (example A2.1) in 7 ml ethanol is added 30 mg of hydrazine monohydrate. The reaction mixture is stirred at room temperature for 1 hour, poured into water, extracted with ethyl acetate,; the organic phase is washed with water, saturated sodium bicarbonated, dried over sodium sulfate and concentrated *in vacuo*, yielding 4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A4.2: 4"-desoxy-4"-*(R)*-aminooxy-avermectin B_1



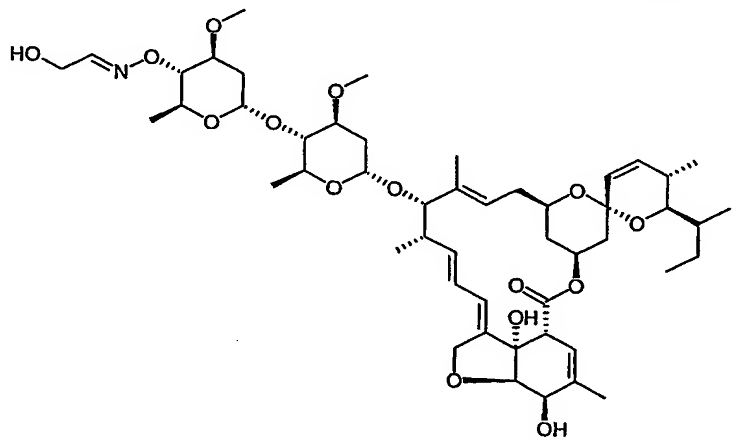
To a solution of 0.15 g of 4"-desoxy-4"-*(R)*-phtalimidooxy-avermectin B_1 (example A2.1) in 5 ml ethanol is added 11 mg of hydrazine monohydrate. The reaction mixture is stirred at room temperature for 1 hour, poured into water, extracted with ethyl acetate,; the organic phase is washed with water, saturated sodium bicarbonated, dried over sodium sulfate and concentrated *in vacuo*, yielding 4"-desoxy-4"-*(R)*-aminooxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A1.1: 4"-Desoxy-4"-*(S)*-(ethylideneamino)oxy-avermectin B_1



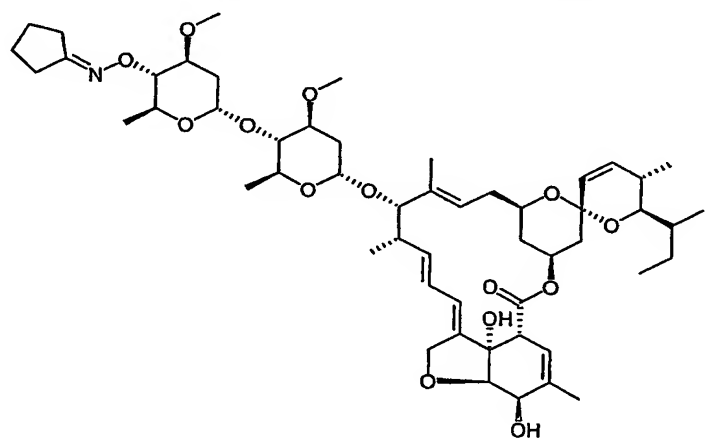
To a solution of 0.1 g of 4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1 (example A2.2) in 5 ml toluene is added 25 mg acetaldehyde. The reaction mixture is stirred at room temperature for 1 hour and concentrated *in vacuo*, yielding 4"-desoxy-4"-*(S)*-[(ethylidene)amino]oxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A1.2: 4"-Desoxy-4"-*(S)*-[(3-hydroxy-ethylidene)amino]oxy-avermectin B_1



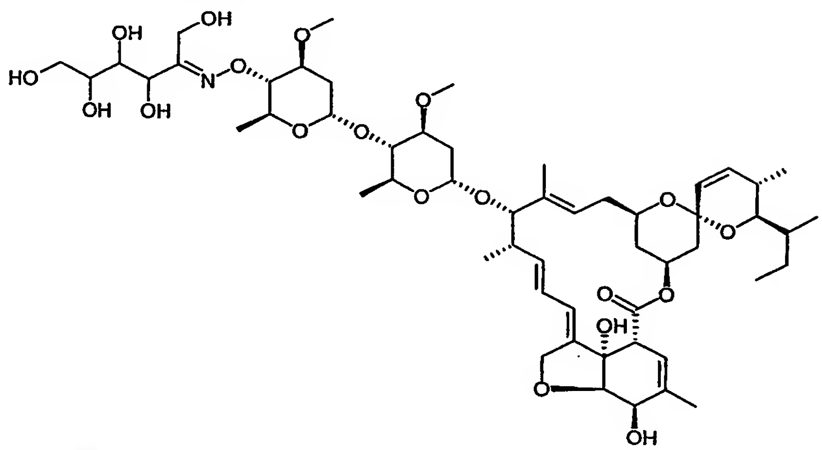
To a solution of 0.1 g of 4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1 (example A2.2) in 5 ml toluene are added 3 mg of pyridinium para-toluene sulfonate and 10 mg of glycolaldehyde. The reaction mixture is stirred at room temperature for 1 hour, poured into water, extracted with ethyl acetate, dried over sodium sulfate and concentrated *in vacuo*, yielding 4"-desoxy-4"-*(S)*-[(3-hydroxy-ethylidene)amino]oxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A1.13: 4"-Desoxy-4"-*(S)*-(cyclopentylideneamino)oxy-avermectin B_1



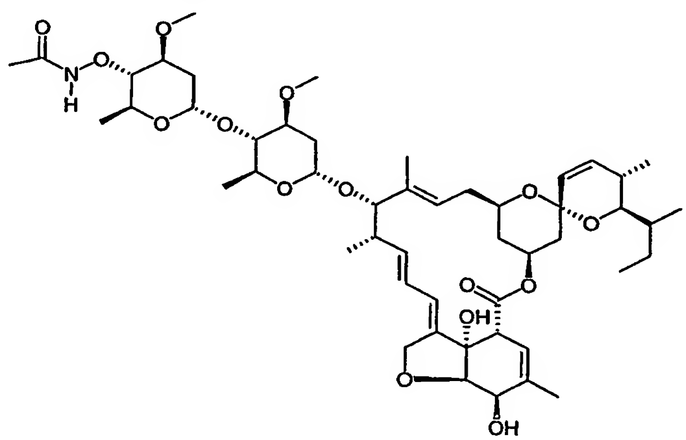
To a solution of 0.1 g of 4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1 (example A2.2) in 5 ml toluene are added 3 mg of pyridinium para-toluene sulfonate and 13 mg of cyclopentanone. The reaction mixture is stirred at room temperature for 1 hour, poured into water, extracted with ethyl acetate, dried over sodium sulfate and concentrated *in vacuo*, yielding 4"-desoxy-4"-*(S)*-(cyclopentylideneamino)oxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A1.16: D-Fructose, 4"-O-(avermectin B_1)oxime



To a solution of 0.1 g of 4"-desoxy-4"-*(S)*-aminoxy-avermectin B_1 (example A2.2) in 5 ml dioxan and 0.05 ml water is added 28 mg of pyridinium para-toluene sulfonate and 202 mg of D-Fructose. The reaction mixture is stirred at room temperature for 24 hours, poured into water, extracted with ethyl acetate, dried over sodium sulfate and concentrated *in vacuo*, yielding D-Fructose, 4"-O-(avermectin B_1)oxime which is characterized by its mass and NMR spectra.

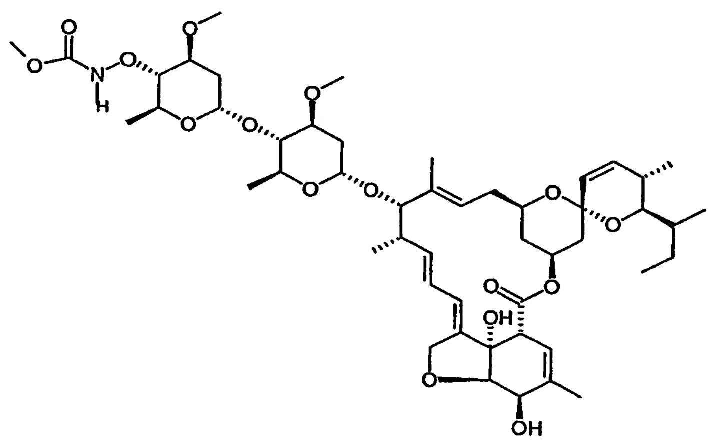
Example A2.3: 4"-Desoxy-4"-*(S)*-(acetylamino)oxy-avermectin B_1



Step A: To a solution of 6 g of 5-OTBDMS-4"-desoxy-4"-*(S)*-phtalimidooxy-avermectin B_1 (example A2.1, step A) in 100 ml ethanol is added 0.39 ml of hydrazine monohydrate. The reaction mixture is stirred at room temperature for 1 hour, poured into water, extracted with ethyl acetate; the organic phase is washed with saturated sodium bicarbonate, dried over sodium sulfate and sulfate and concentrated *in vacuo*, yielding 5-OTBDMS-4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1.

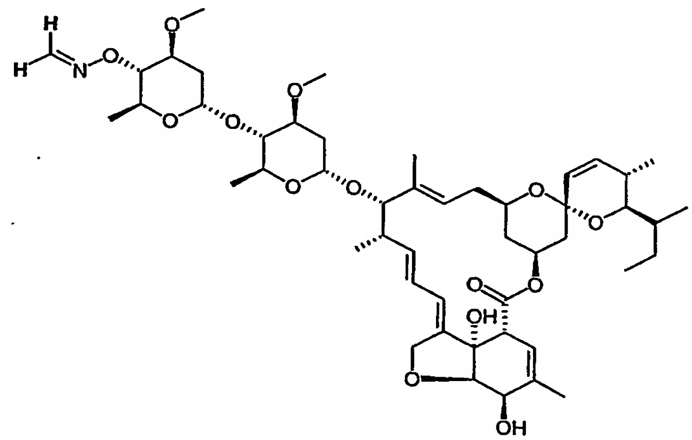
Step B: To a solution of 0.2 g of 5-OTBDMS-4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1 and 0.03 ml pyridine in 5 ml dichloromethane at 0°C is added 0.02 ml acetyl chloride. The reaction mixture is stirred at 0°C for 1 hour, poured into water, extracted with dichloromethane, dried over sodium sulfate and concentrated *in vacuo*. To a solution of the crude residue in 1.5 ml tetrahydrofuran is is added 0.3 ml of a HF-pyridine solution (consisting of 25 g 70% HF-Pyridine, 27,5 ml tetrahydrofuran and 12,5 ml pyridine), and the mixture is stirred at room temperature for 12 hours, poured into water, extracted with ethyl acetate; the organic phase is washed with saturated sodium bicarbonate, dried over sodium sulfate, and concentrated *in vacuo*, yielding 4"-desoxy-4"-*(S)*-(acetylamino)oxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A2.11: 4"-Desoxy-4"-*(S)*-[(methoxycarbonyl)amino]oxy-avermectin B_1



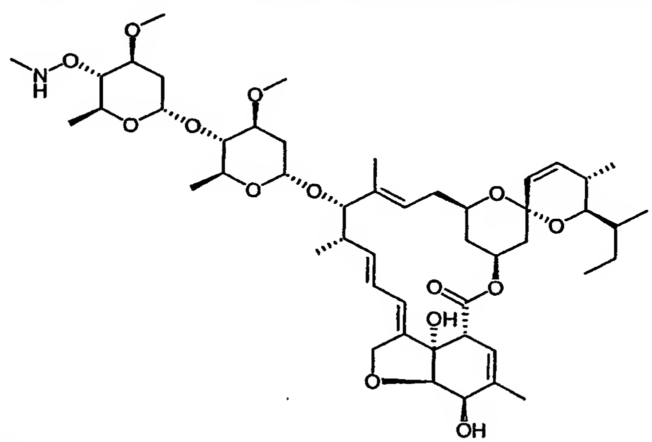
To a stirred solution of 0.2 g of 4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1 (example A2.2) in 3 ml ethyl acetate and 3 ml sodium bicarbonate is added 24 mg of methyl chloroformate. The reaction mixture is stirred at room temperature for 5 hours, diluted with ethyl acetate, washed with brine, dried over sodium sulfate and concentrated *in vacuo*. The residue is purified by flash-chromatography (silica gel hexane/ethyl acetate 65/35) providing 4"-desoxy-4"-*(S)*-[(methoxycarbonyl)amino]oxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A1.17: 4"-desoxy-4"-(S)-[(methylidene)amino]oxy-avermectin B_1



To a solution of 2.62 g of 4"-desoxy-4"-*(S)*-aminooxy-avermectin B_1 (example A2.2) in 25 ml tetrahydrofuran is added 4.84 ml of aqueous formaldehyde (36%). The reaction mixture is stirred at room temperature for 2.5 hours and concentrated *in vacuo*, yielding 4"-desoxy-4"-*(S)*-[(methylidene)amino]oxy-avermectin B_1 which is characterized by its mass and NMR spectra.

Example A2.13: 4"-desoxy-4"-(S)-(methylamino)oxy-avermectin B_1



To a solution of 2.78 g of 4"-desoxy-4"-*(S)*-[(methylidene)amino]oxy-avermectin B_1 (example A1.17) in 50 ml toluene is added 25 ml of a solution of diisobutylaluminium-hydride in toluene (1.2 mol/l) under nitrogen. During the addition, the reaction flask is cooled in a water bath, the temperature of the reaction mixture is kept below 25 °C. Then the reaction mixture is stirred at room temperature for 3 hours, extracted with ethyl acetate and aqueous sodium tartrate, dried over sodium sulfate and concentrated *in vacuo*. The residue is purified by chromatography on silica gel with hexane / ethyl acetate, yielding 4"-desoxy-4"-*(S)*-(methylamino)oxy-avermectin B1 which is characterized by its mass and NMR spectra.

Similarly to the preparation examples above it is also possible to prepare the compounds listed in Tables A1 to A8 and Tables 1 to 48. In the Tables, the symbol ~~~ denotes, where necessary, the bond through which the radical in question is attached to the the skeleton.

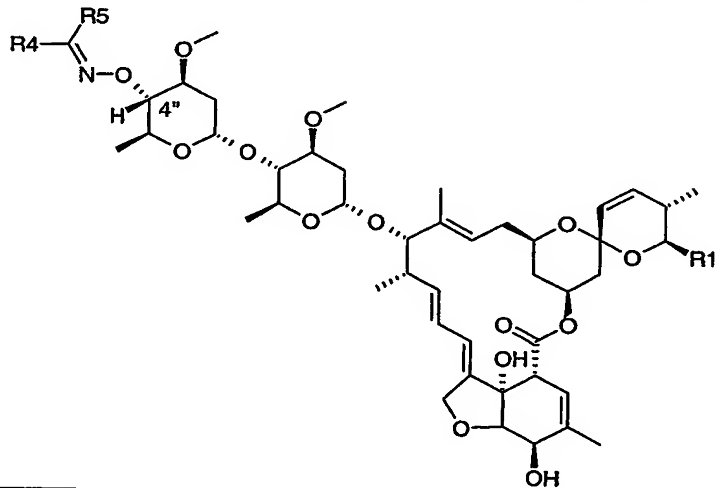
Since in most cases the compounds are present as mixtures of the avermectin derivatives B1a and B1b, characterization by customary physical data such as melting point or refractive index makes little sense. For this reason, the compounds are characterized by the retention times which are determined in an analysis by HPLC (high performance liquid chromatography). Here, the term B1a refers to the main component in which R_1 is sec-butyl, with a content of usually more than 80%. B1b denotes the minor component in which R_1 is isopropyl. Where two retention times are given for the B1a or the B1b derivative or both the compounds are mixtures of diastereomers which can be separated chromatographically. In the case of compounds where a retention time is given only in column B1a or only in column B1b, the pure B1a or B1b component, respectively, can be obtained during work-up. The correct structures of the B1a and B1b components are assigned by mass spectrometry.

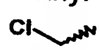
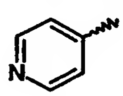
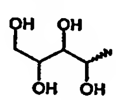
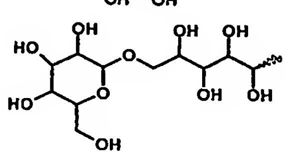
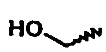
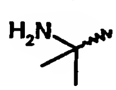
The following method is used for HPLC analysis:

HPLC gradient conditions			
Solvent A:	0.01% of trifluoroacetic acid in H_2O		
Solvent B:	0.01% of trifluoroacetic acid in CH_3CN		
Time [min]	A [%]	B [%]	Flow rate [μl/min]
0	80	20	500
0.1	50	50	500
10	5	95	500
15	0	100	500
17	0	100	500
17.1	80	20	500
22	80	20	500
Type of column	YMC-Pack ODS-AQ		
Column length	125 mm		
Internal diameter of column:	2 mm		
Temperature	40°C		

The YMC-Pack ODS-AQ column used for the chromatography of the compounds is manufactured by YMC, Alte Raesfelderstrasse 6, 46514 Schermbeck, Germany.

__Table A1:__ Compounds of the formula (I) in which R_1 is sec-butyl (B1a) or isopropyl (B1b)



No.	R_4	R_5	Retention time (min)	
			B1a	B1b
A1.1	Methyl	H	11.09	
A1.2		H	8.96	8.21
A1.3	Ethyl	H	11.18	10.51
A1.4		H	10.98	10.34
A1.5	CCl_3	H	12.31	
A1.6	cyclopropyl	H	11.00	10.35
A1.7	COOH	H	5.19	
A1.8	Phenyl	H	12.69	
A1.9		H	9.16	
A1.10		H	6.57	5.97
A1.11		H	5.28	4.84
A1.12	methyl	methyl	11.63	
A1.13	$-(CH_2)_4-$		12.33	11.59
A1.14			7.99	
A1.15		methyl	5.61	5.26

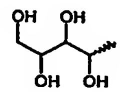
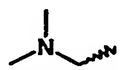
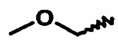
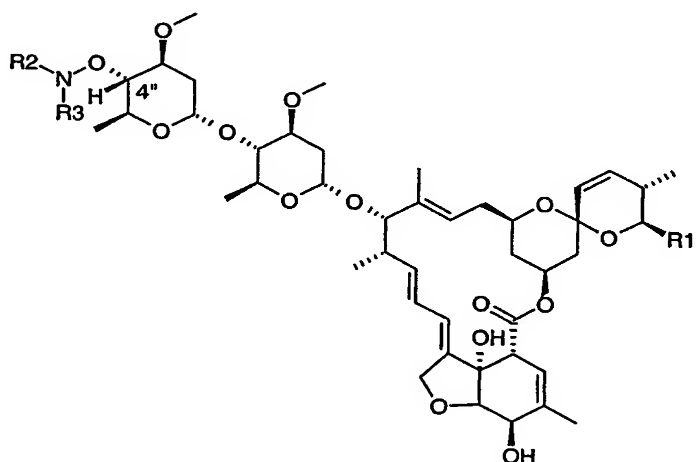
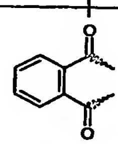
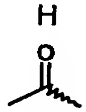
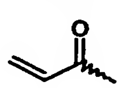
No.	R_4	R_5	Retention time (min)	
			B1a	B1b
A1.16			6.01	
A1.17	H	H	11.27	10.57
A1.18		methyl	5.17 5.04	4.75
A1.19		methyl	11.90	11.15
A1.20		methyl	13.35 13.21	12.93 12.79
A1.21		methyl	11.96	11.21
A1.22	$-(CH_2)_2-O-(CH_2)_2-$		12.89	12.38
A1.23	$-(CH_2)_2-N(CH_3)-(CH_2)_2-$		5.16	4.72

Table A2: Compounds of the formula (I) in which R_1 is sec-butyl (B1a) or isopropyl (B1b).



No.	R_2	R_3	Retention time (min)	
			B1a	B1b
A2.1				
A2.2	H	H	5.16	4.28
A2.3		H	7.90	7.22
A2.4		H	8.28	7.63

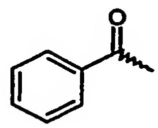
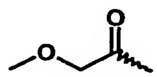
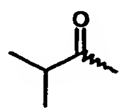
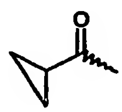
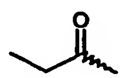
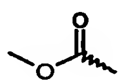
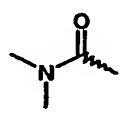
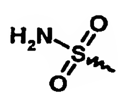
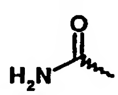
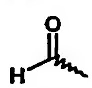
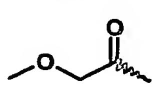
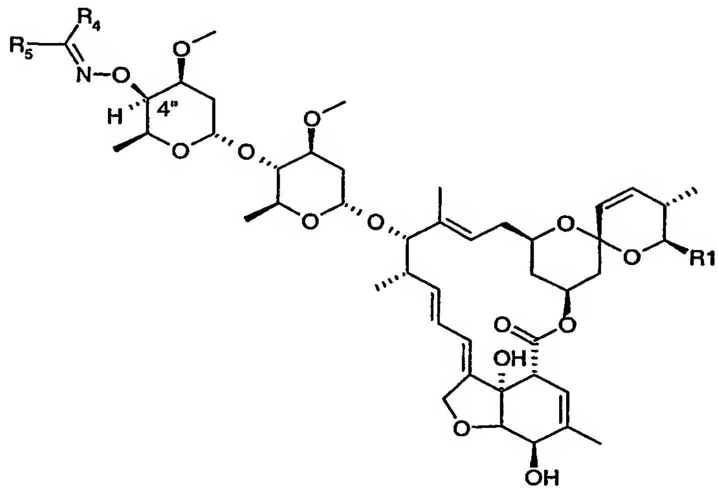
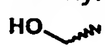
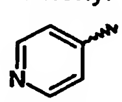
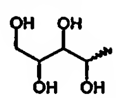
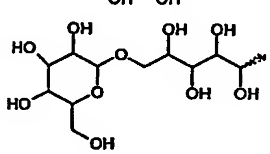
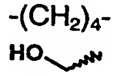
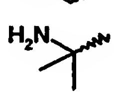
No.	R_2	R_3	Retention time (min)	
			B1a	B1b
A2.5		H	9.31	8.56
A2.7		H	8.34	7.64
A2.8		H	8.86	8.14
A2.9		H	8.70	8.00
A2.10		H	8.45	7.75
A2.11		H	7.91	7.21
A2.12		H	8.09	7.41
A2.13		H	7.80	7.15
A2.14	H	methyl	8.00	7.31
A2.15		H	8.16	8.96
A2.16		methyl		
A2.17		methyl		
A2.18		methyl		
A2.19	methyl	methyl	12.05	11.36

Table A3: Compounds of the formula (I) in which R_1 is sec-butyl (B1a) or isopropyl (B1b).



No.	R_4	R_5	Retention time (min)	
			B1a	B1b
A3.1	Methyl	H		
A3.2		H		
A3.3	Ethyl	H		
A3.4		H		
A3.5	CCl_3	H		
A3.6	cyclopropyl	H		
A3.7	COOH	H		
A3.8	Phenyl	H		
A3.9		H		
A3.10		H		
A3.11		H		
A3.12	methyl	methyl		
A3.13	$-(CH_2)_4-$			
A3.14				
A3.15		methyl		

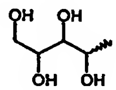
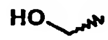
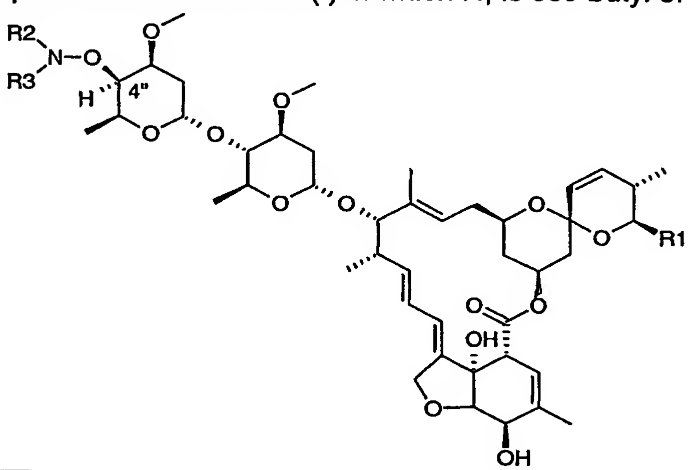
No.	R_4	R_5	Retention time (min)	
			B1a	B1b
A3.16				

Table A4: Compounds of the formula (I) in which R_1 is sec-butyl or isopropyl



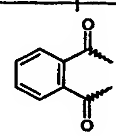
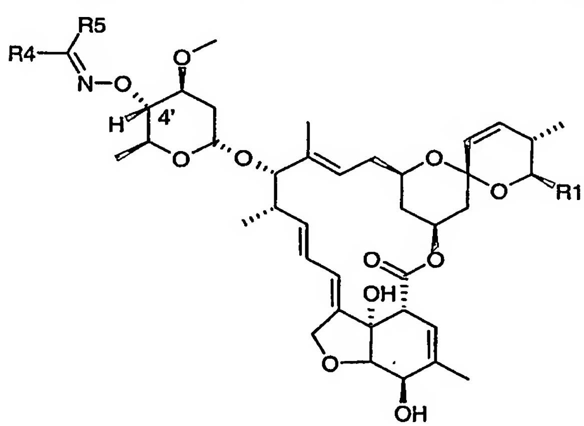
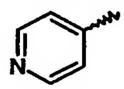
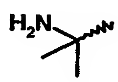
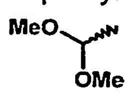
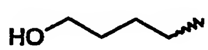
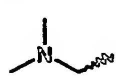
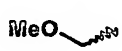
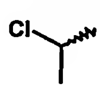
No.	R_2	R_3	Retention time (min)	
			B1a	B1b
A4.1			9.98	
A4.2	H	H	8.76	8.10

Table A5: Compounds of the formula (I) in which R_1 is sec-butyl (B1a) or isopropyl (B1b)



No.	R_4	R_5	Retention time (min)	
			B1a	B1b
A5.1	Methyl	H		
A5.2		H	8.05	
A5.3	Ethyl	H		
A5.4		H		
A5.5	cyclopropyl	H		
A5.6	COOH	H	8.48	
A5.7	Phenyl	H	12.12	
A5.8		H		
A5.9	methyl	methyl	10.77	
A5.10	$-(CH_2)_4-$		11.41	
A5.11			7.20	
A5.12		methyl		
A5.13	$-(CH_2)_2-N(CH_3)-(CH_2)_2-$		5.32	
A5.14	$-(CH_2)_2-O-(CH_2)_2-$		10.24	
A5.15	$CH_3(CH_2)_2CH(CH_3)-$	H	13.01	
A5.16	$(CH_3CH_2)_2CH-$	H	12.91	
A5.17	n-pentyl	H	12.91	
A5.18		H	10.40	
A5.19	$-(CH_2)_3-$		10.88	
A5.20	$-(CH_2)_5-$		12.21	
A5.21		H	9.13	
A5.22		methyl	8.37	
A5.23		methyl	9.02	
A5.24		methyl	5.28	
A5.25		methyl	10.88	
A5.26		methyl	11.84	
A5.27		methyl	12.01	
A5.28	F_3C-	methyl	11.79	

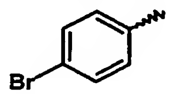
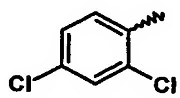
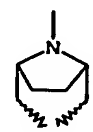
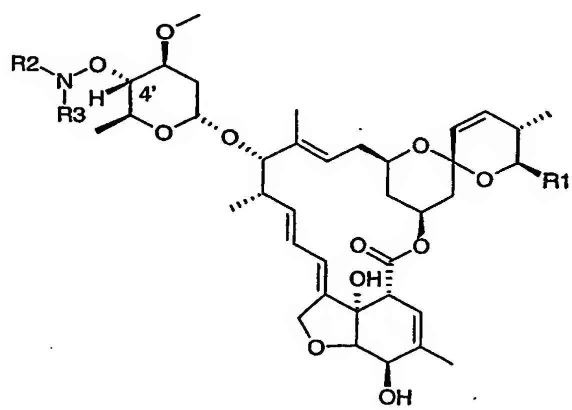
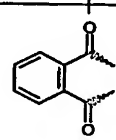
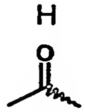
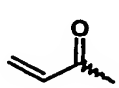
No.	R_4	R_5	Retention time (min)	
			B1a	B1b
A5.29	ethyl	ethyl	12.71	
A5.30		H	12.98	
A5.31		H	14.19	
A5.32			5.87	
A5.33	H	H		

Table A6: Compounds of the formula (I) in which R_1 is sec-butyl (B1a) or isopropyl (B1b).



No.	R_2	R_3	Retention time (min)	
			B1a	B1b
A6.1			11.50	
A6.2	H	H	5.51	
A6.3		H	7.57	
A6.4		H		

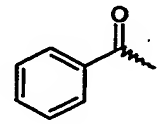
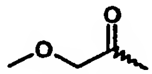
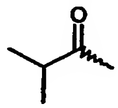
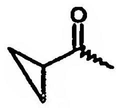
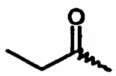
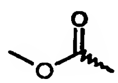
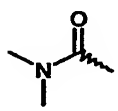
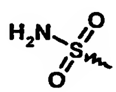
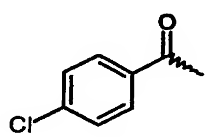
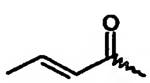
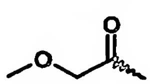
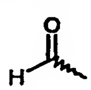
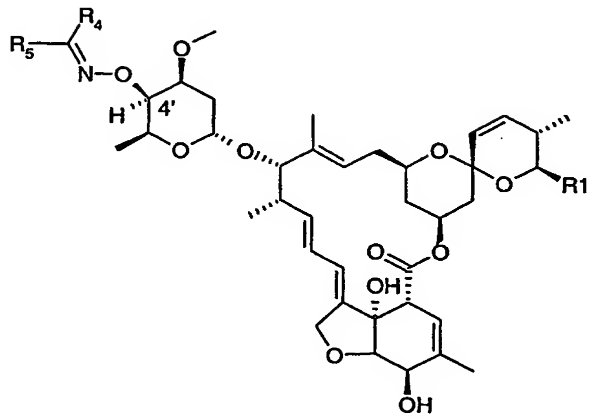
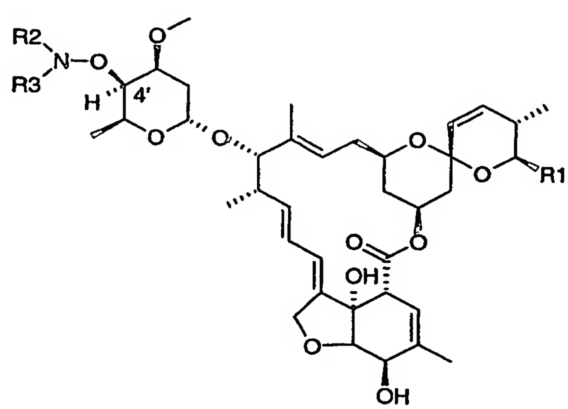
No.	R_2	R_3	Retention time (min)	
			B1a	B1b
A6.5		H		
A6.6		H	8.14	
A6.7		H	8.80	
A6.8		H		
A6.9		H		
A6.10		H		
A6.11		H		
A6.12		H		
A6.13		H		
A6.14		H	8.64	
A6.15	methyl	H		
A6.16		methyl		
A6.17		methyl		
A6.18		methyl		

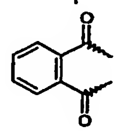
Table A7: Compounds of the formula (I) in which R_1 is sec-butyl (B1a) or isopropyl (B1b).



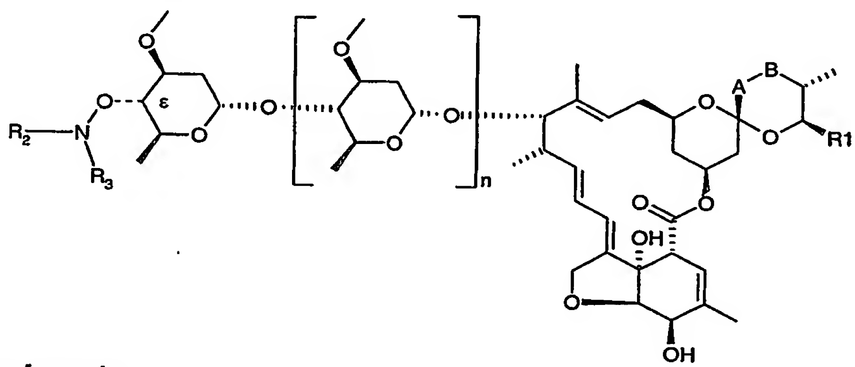
No.	R_4	R_5	Retention time (min)	
			B1a	B1b
A7.1	Methyl	H		
A7.2	HO~	H		
A7.3	Ethyl	H		
A7.4	Cl~	H		
A7.5	CCl_3	H		
A7.6	cyclopropyl	H		
A7.7	COOH	H		
A7.8	Phenyl	H		
A7.9	methyl	methyl		
A7.10	$-(CH_2)_4-$			

Table A8: Compounds of the formula (I) in which R_1 is sec-butyl (B1a) or isopropyl (B1b).



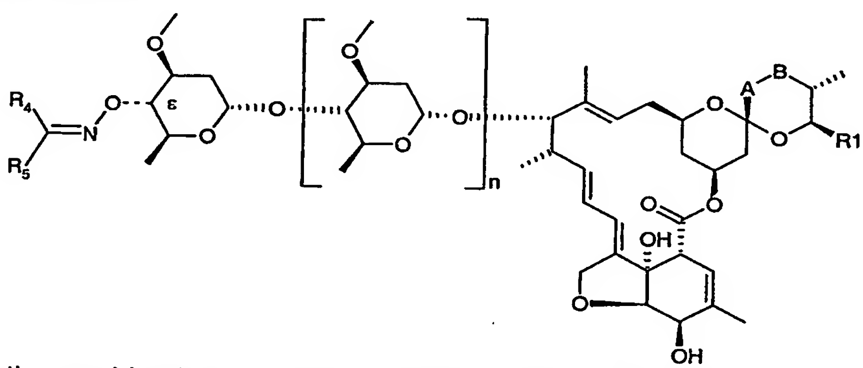
No.	R_2	R_3	Retention time (min)	
			B1a	B1b
A8.1				
A8.2	H	H		

<u>Table B:</u> Compounds of the formula



(IA),

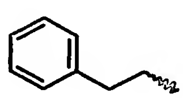
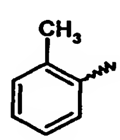
and of the formula

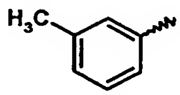
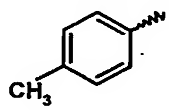
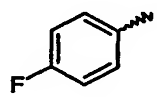
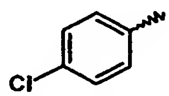
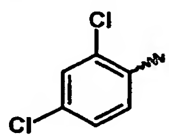
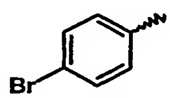
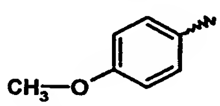
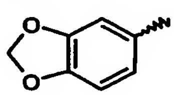
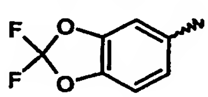
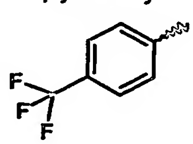
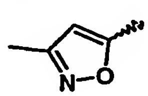
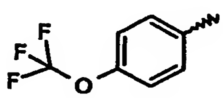


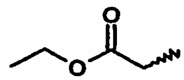
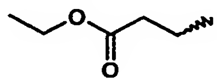
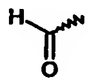
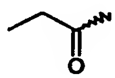
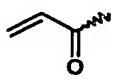
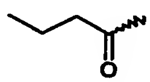
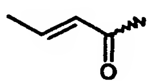
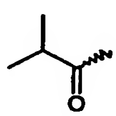
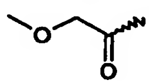
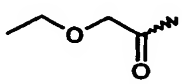
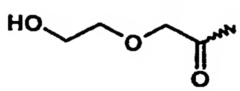
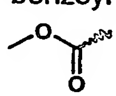
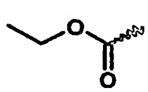
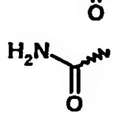
(IB),

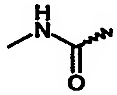
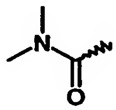
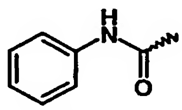
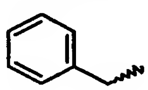
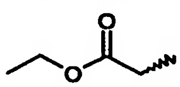
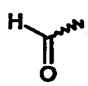
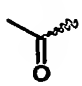
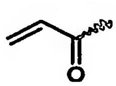
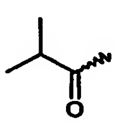
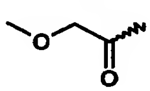
wherein the combination of R_2 and R_3 holds for compound (IA) and the combination of R_4 and R_5 holds for compound (IB):

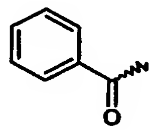
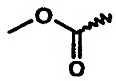
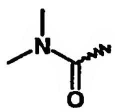
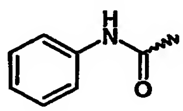
	R_2 or R_4	R_3 or R_5
B.1	H	H
B.2	Methyl	H
B.3	Ethyl	H
B.4	n-propyl	H
B.5	iso-propyl	H
B.6	n-butyl	H

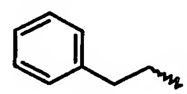
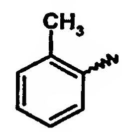
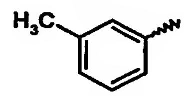
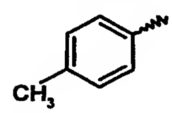
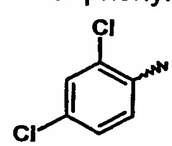
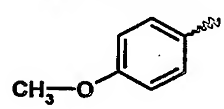
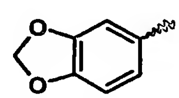
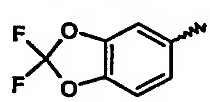
	R_2 or R_4	R_3 or R_5
B.7	s-butyl	H
B.8	iso-butyl	H
B.9	t-butyl	H
B.10	$CH_3(CH_2)_2CH(CH_3)$-	H
B.11	$(CH_3CH_2)_2CH$-	H
B.12	n-pentyl	H
B.13	CH_2=CH-CH_2-	H
B.14	CH_3-CH=CH-CH_2-	H
B.15	HO-CH_2-	H
B.16	HO-CH_2-CH_2-	H
B.17	CH_3-CH(OH)-	H
B.18	$(CH_3)_2C(OH)$-	H
B.19	HO-CH_2-CH_2-CH_2-	H
B.20	HO-CH_2-CH_2--CH_2-CH_2-	H
B.21	CH_3-O-CH_2-	H
B.22	CH_3-O-CH_2-CH_2-	H
B.23	CH_3-CH_2-O-CH_2-	H
B.24	CH_3-CH_2-O-CH_2-CH_2-	H
B.25	$(CH_3O)_2CH$-	H
B.26	NH_2-CH_2-	H
B.27	CF_3-	H
B.28	CH_2F	H
B.29	CHF_2	H
B.30	CH_2Cl	H
B.31	$CHCl_2$	H
B.32	CCl_3	H
B.33	CN	H
B.34	Phenyl	H
B.35	Benzyl	H
B.36		H
B.37		H

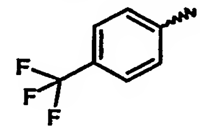
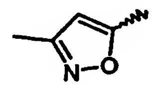
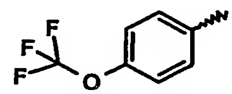
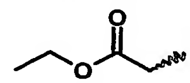
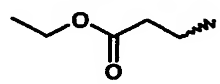
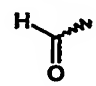
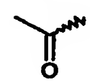
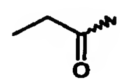
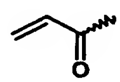
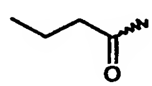
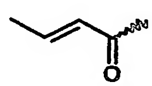
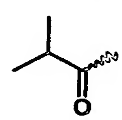
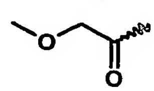
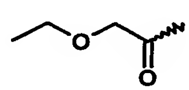
	R_2 or R_4	R_3 or R_5
B.38		H
B.39		H
B.40		H
B.41		H
B.42		H
B.43		H
B.44		H
B.45		H
B.46		H
B.47	pyrid-2-yl	H
B.48	pyrid-3-yl	H
B.49	pyrid-4-yl	H
B.50		H
B.51		H
B.52		H

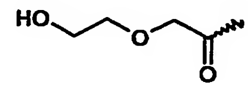
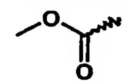
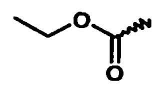
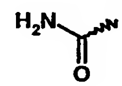
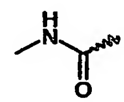
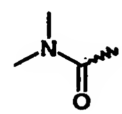
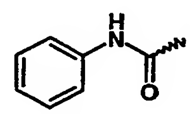
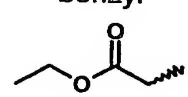
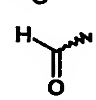
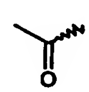
	R_2 or R_4	R_3 or R_5
B.53		H
B.54		H
B.55		H
B.56		H
B.57		H
B.58		H
B.59		H
B.60		H
B.61		H
B.62		H
B.63		H
B.64		H
B.65	benzoyl	H
B.66		H
B.67		H
B.68		H

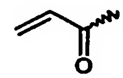
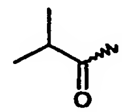
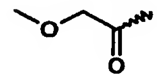
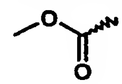
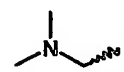
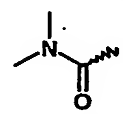
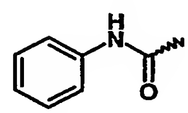
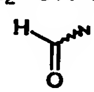
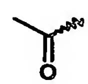
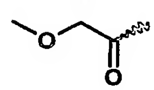
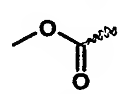
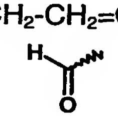
	R_2 or R_4	R_3 or R_5
B.69		H
B.70		H
B.71		H
B.72	SO_2Me	H
B.73	SO_2Et	H
B.74	ethyl	methyl
B.75	CH_2OH	methyl
B.76	2-hydroxyethyl	methyl
B.77	methoxymethyl	methyl
B.78	methylthiomethyl	methyl
B.79	CF_3	methyl
B.80	CH_3-CHCl-	methyl
B.81	CH_2=CH-CH_2-	methyl
B.82	phenyl	methyl
B.83		methyl
B.84		methyl
B.85		methyl
B.86		methyl
B.87		methyl
B.88		methyl
B.89		methyl

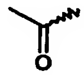
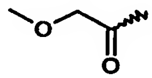
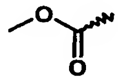
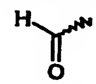
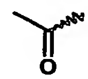
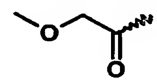
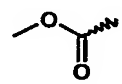
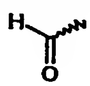
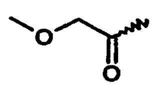
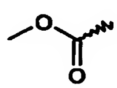
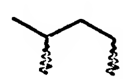
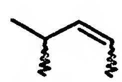
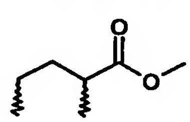
	R_2 or R_4	R_3 or R_5
B.90		methyl
B.91		methyl
B.92		methyl
B.93		methyl
B.94		methyl
B.95	H	ethyl
B.96	H	n-propyl
B.97	H	iso-propyl
B.98	H	n-butyl
B.99	H	s-butyl
B.100	H	iso-butyl
B.101	H	t-butyl
B.102	H	$CH_3(CH_2)_2CH(CH_3)$-
B.103	H	$(CH_3CH_2)_2CH$-
B.104	H	n-pentyl
B.105	H	CH_2=CH-CH_2-
B.106	H	CH_3-CH=CH-CH_2-
B.107	H	HO-CH_2-
B.108	H	HO-CH_2-CH_2-
B.109	H	CH_3-CH(OH)-
B.110	H	$(CH_3)_2C(OH)$-
B.111	H	HO-CH_2-CH_2- CH_2-
B.112	H	HO-CH_2-CH_2--CH_2-CH_2-
B.113	H	CH_3-O-CH_2-
B.114	H	CH_3-O-CH_2-CH_2-
B.115	H	CH_3-CH_2-O-CH_2-
B.116	H	CH_3-CH_2-O-CH_2-CH_2-
B.117	H	$(CH_3O)_2CH$-

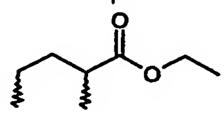
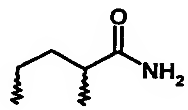
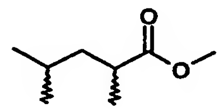
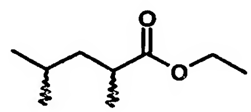
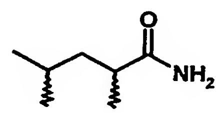
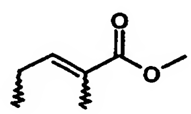
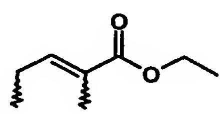
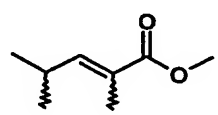
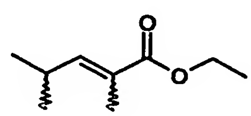
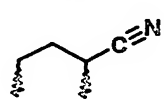
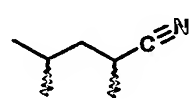
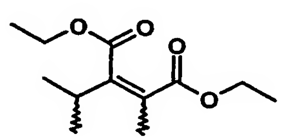
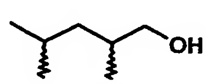
	R_2 or R_4	R_3 or R_5
B.118	H	NH_2-CH_2-
B.119	H	CF_3-
B.120	H	CH_2F
B.121	H	CHF_2
B.122	H	CH_2Cl
B.123	H	$CHCl_2$
B.124	H	CCl_3
B.125	H	CN
B.126	H	phenyl
B.127	H	Benzyl
B.128	H	
B.129	H	
B.130	H	
B.131	H	
B.132	H	4-F-phenyl
B.133	H	4-Cl-phenyl
B.134	H	
B.135	H	4-Br-phenyl
B.136	H	
B.137	H	
B.138	H	
B.139	H	pyrid-2-yl

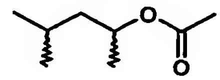
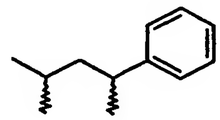
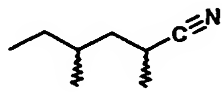
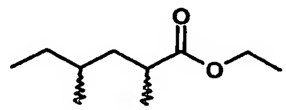
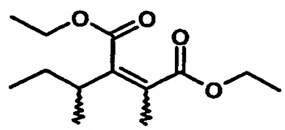
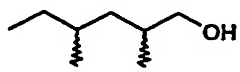
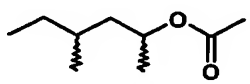
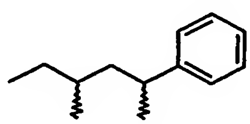
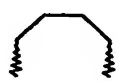
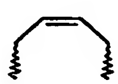
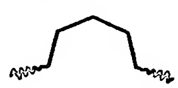
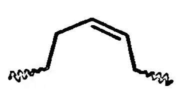
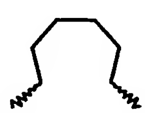
	R_2 or R_4	R_3 or R_5
B.140	H	pyrid-3-yl
B.141	H	pyrid-4-yl
B.142	H	
B.143	H	
B.144	H	
B.145	H	
B.146	H	
B.147	H	
B.148	H	
B.149	H	
B.150	H	
B.151	H	
B.152	H	
B.153	H	
B.154	H	
B.155	H	

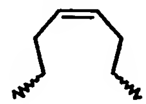
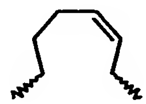
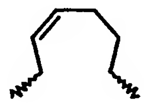
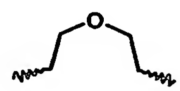
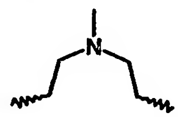
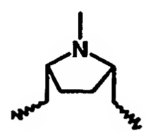
	R_2 or R_4	R_3 or R_5
B.156	H	
B.157	H	benzoyl
B.158	H	
B.159	H	
B.160	H	
B.161	H	
B.162	H	
B.163	H	
B.164	H	SO_2Me
B.165	H	SO_2Et
B.166	methyl	ethyl
B.167	methyl	$CH_2=CH-CH_2-$
B.168	methyl	phenyl
B.169	methyl	hydroxymethyl
B.170	methyl	2-hydroxyethyl
B.171	methyl	methoxymethyl
B.172	methyl	methylthiomethyl
B.173	methyl	CF_3
B.174	methyl	$CH_3-CHCl-$
B.175	methyl	benzyl
B.176	methyl	
B.177	methyl	
B.178	methyl	

	R_2 or R_4	R_3 or R_5
B.179	methyl	
B.180	methyl	
B.181	methyl	
B.182	methyl	benzoyl
B.183	methyl	
B.184	methyl	
B.185	methyl	
B.186	methyl	
B.187	methyl	-CN
B.188	methyl	methyl
B.189	ethyl	ethyl
B.190	hydroxymethyl	ethyl
B.191	hydroxymethyl	CH_2=CH-CH_2-
B.192	hydroxymethyl	
B.193	hydroxymethyl	
B.194	hydroxymethyl	
B.195	hydroxymethyl	
B.196	hydroxymethyl	-CN
B.197	-CH_2-CH_2=CH_2	ethyl
B.198		ethyl

	R_2 or R_4	R_3 or R_5
B.199		ethyl
B.200		ethyl
B.201		ethyl
B.202	CH_2=CH-CH_2-	CH_2=CH-CH_2-
B.203	CH_2=CH-CH_2-	
B.204	CH_2=CH-CH_2-	
B.205	CH_2=CH-CH_2-	
B.206	CH_2=CH-CH_2-	
B.207	CH_2=CH-CH_2-	-CN
B.208		CH_2=CH-CH_2-
B.209		CH_2=CH-CH_2-
B.210		CH_2=CH-CH_2-
B.211		CH_2=CH-CH_2-
B.212	-CH_2-CH_2-CH_2-	
B.213	-CH_2-CH=CH-	
B.214		
B.215		
B.216		

	R_2 or R_4	R_3 or R_5
B.217		
B.218		
B.219		
B.220		
B.221		
B.222		
B.223		
B.224		
B.225		
B.226		
B.227		
B.228		
B.229		

	R_2 or R_4	R_3 or R_5
B.230		
B.231		
B.232		
B.233		
B.234		
B.235		
B.236		
B.237		
B.238		
B.239		
B.240		
B.241		
B.242		
B.243		

	R_2 or R_4	R_3 or R_5
B.244		
B.245		
B.246		
B.247		
B.248		
B.249		

<u>Table 1:</u> A compound of the formula (IA) in which the configuration at the ε-position is S, n is 1, A-B is -CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

<u>Table 2:</u> A compound of the formula (IA) in which the configuration at the ε-position is S, n is 1, A-B is $-CH_2-CH_2-$, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

<u>Table 3:</u> A compound of the formula (IA) in which the configuration at the ε-position is S, n is 0, A-B is -CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

<u>Table 4:</u> A compound of the formula (IA) in which the configuration at the ε-position is S, n is 0, A-B is $-CH_2-CH_2-$, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 5: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 1, A-B is -CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 6: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 1, A-B is $-CH_2-CH_2-$, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 7: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 0, A-B is -CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 8: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 0, A-B is $-CH_2-CH_2-$, R_1 is sec-butyl or isopropyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 9: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 1, A-B is –CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 10: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 1, A-B is $-CH_2-CH_2-$, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 11: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 0, A-B is -CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 12: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 0, A-B is $–CH_2-CH_2-$, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 13: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 1, A-B is –CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 14: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 1, A-B is $-CH_2-CH_2-$, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 15: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 0, A-B is -CH=CH-, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 16: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 0, A-B is –CH_2-CH_2-, R_1 is sec-butyl or isopropyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 17: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 1, A-B is -CH=CH-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 18: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 1, A-B is -CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 19: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 0, A-B is -CH=CH-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 20: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 0, A-B is -CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 21: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 1, A-B is -CH=CH-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 22: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 1, A-B is -CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 23: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 0, A-B is -CH=CH-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 24: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 0, A-B is -CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 25: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 1, A-B is –CH=CH-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 26: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 1, A-B is -CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 27: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 0, A-B is -CH=CH-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 28: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 0, A-B is –CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 29: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 1, A-B is –CH=CH-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 30: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 1, A-B is -CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 31: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 0, A-B is -CH=CH-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 32: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 0, A-B is –CH_2-CH_2-, R_1 is cyclohexyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 33: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 1, A-B is -CH=CH-, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 34: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 1, A-B is -CH_2-CH_2-, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 35: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 0, A-B is -CH=CH-, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 36: A compound of the formula (IA) in which the configuration at the ε-position is S, n is 0, A-B is $-CH_2-CH_2-$, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 37: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 1, A-B is -CH=CH-, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 38: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 1, A-B is $-CH_2-CH_2-$, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 39: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 0, A-B is -CH=CH-, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 40: A compound of the formula (IA) in which the configuration at the ε-position is R, n is 0, A-B is $-CH_2-CH_2-$, R_1 is 1-methyl-butyl and the combination of R_2 and R_3 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 41: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 1, A-B is –CH=CH-, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 42: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 1, A-B is $-CH_2-CH_2-$, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 43: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 0, A-B is -CH=CH-, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 44: A compound of the formula (IB) in which the configuration at the ε-position is S, n is 0, A-B is $-CH_2-CH_2-$, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 45: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 1, A-B is –CH=CH-, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 46: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 1, A-B is $-CH_2-CH_2-$, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 47: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 0, A-B is -CH=CH-, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Table 48: A compound of the formula (IB) in which the configuration at the ε-position is R, n is 0, A-B is $-CH_2-CH_2-$, R_1 is 1-methyl-butyl and the combination of R_4 and R_5 for each compounds corresponds to a line B.1 to B.249 of Table B.

Formulation examples for use in crop protection (% = per cent by weight)

Example F1: Emulsion concentrates	a)	b)	c)
Active compound25% 40% 50%			
Calcium dodecylbenzenesulphonate	5%	8%	6%
Castor oil polyethylene glycol ether (36 mol of EO)	5%	-	-
Tributylphenol polyethylene glycol ether (30 mol of EO)	-	12%	4%
Cyclohexanone	-	15%	20%
Xylene mixture	65%	25%	20%

Mixing of finely ground active compound and additives gives an emulsion concentrate which, by dilution with water, affords emulsions of the desired concentration.

Example F2: Solutions	a)	b)	c)	d)
active ingredient	80%	10%	5%	95%
ethylene glycol monomethyl ether	-	20%	-	-
polyethylene glycol (MW 400)	-	70%	-	-
N-methylpyrrolid-2-one	20%	-	-	-
epoxidised coconut oil	-	-	1%	5%
petroleum ether (boiling range: 160-190°C)	-	-	94%	-

Mixing of finely ground active compound and additives gives a solution suitable for use in the form of microdrops.

Example F3: Granules	a)	b)	c)	d)
Active compound	5%	10%	8%	21%
Kaolin	94%	-	79%	54%
Finely divided silicic acid	1%	-	13%	7%
Attapulgite	-	90%	-	18%

The active compound is dissolved in dichloromethane, the solution is sprayed onto the mixture of carriers and the solvent is evaporated under reduced pressure.

Example F4: Wettable powder	a)	b)	c)
Active compound	25%	50%	75%
Sodium lignosulphonate	5%	5%	-
Sodium lauryl sulphate	3%	-	5%
Sodium diisobutylnaphthalene sulphonate	-	6%	10%
Octylphenol polyethylene glycol ether (7-8 mol of EO)	-	2%	-
Finely divided silicic acid	5%	10%	10%
Kaolin	62%	27%	-

Active compound and additives are mixed and the mixture is ground in a suitable mill. This gives wettable powders which can be diluted with water to give suspensions of the desired concentration.

Example F5: Emulsion concentrate	
Active compound	10%
Octylphenol polyethylene glycol ether (4-5 mol of EO)	3%
Calcium dodecylbenzenesulphonate	3%
Castor oil polyethylene glycol ether (36 mol of EO)	4%
Cyclohexanone	30%
Xylene mixture	50%

Mixing of finely ground active compound and additives gives an emulsion concentrate which, by dilution with water, affords emulsions of the desired concentration.

Example F6: Extruder granules

Active compound	10%
Sodium lignosulphonate	2%
Carboxymethylcellulose	1%
Kaolin	87%

Active compound and additives are mixed, the mixture is ground, moistened with water, extruded and granulated, and the granules are dried in a stream of air.

Example 7: Coated granules

Active compound	3%
Polyethylene glycol (MW 200)	3%
Kaolin	94%

In a mixer, the finely ground active compound is applied uniformly to the kaolin which has been moistened with polyethylene glycol. This gives dust-free coated granules.

Example F8: Suspension concentrate

Active compound	40%
Ethylene glycol	10%
Nonylphenol polyethylene glycol ether (15 mol of EO)	6%
Sodium lignosulphonate	10%
Carboxymethylcellulose	1%
Aqueous formaldehyde solution (37%)	0.2%
Aqueous silicone oil emulsion (75%)	0.8%
Water	32%

Mixing of finely ground active compound and additives gives a suspension concentrate which, by dilution with water, affords suspensions of the desired concentration.

Biological examples:

Example B1: Activity against Spodoptera littoralis

Young soya bean plants are sprayed with an aqueous emulsion spray liquor which comprises 12.5 ppm of active compound, and, after the spray coating has dried on, populated with 10 caterpillars of the first stage of Spodoptera littoralis and introduced into a plastic container. 3 days later, the reduction in the population in per cent and the reduction in

the feeding damage in per cent (% activity) are determined by comparing the number of dead caterpillars and the feeding damage between the treated and the untreated plants.

In this test, the compounds of the Tables A1 to A4 and Tables 1 to 48 show good activity. Thus, in particular the compounds A1.1 to A4.2 are more than 80 % effective.

Example B2: Activity against Spodoptera littoralis, systemic:

Maize seedlings are placed into the test solution which comprises 12.5 ppm of active compound. After 6 days, the leaves are cut off, placed onto moist filter paper in a Petri dish and populated with 12 to 15 Spodoptera littoralis larvae of the L_1 stage. 4 days later, the reduction of the population in per cent (% activity) is determined by comparing the number of dead caterpillars between the treated and the untreated plants.

In this test, the compounds of the Tables A1 to A4 and Tables 1 to 48 show good activity. Thus, in particular the compounds A1.1 to A4.2 are more than 80 % effective.

Example B3: Activity against Heliothis virescens

30-35 eggs of Heliothis virescens which are 0- to 24-hour-old are placed onto filter paper in a Petri dish on a layer of synthetic feed. 0.8 ml of the test solution which comprises 12.5 ppm of active compound is then pipetted onto the filter papers. Evaluation is carried out after 6 days. The reduction in the population in per cent (% activity) is determined by comparing the number of dead eggs and larvae on the treated and the untreated filter papers.

In this test, the compounds of the Tables A1 to A4 and Tables 1 to 48 show good activity. Thus, in particular the compounds A1.1 to A4.2 are more than 80 % effective.

Example B4: Activity against Plutella xylostella caterpillars

Young cabbage plants are sprayed with an aqueous emulsion spray liquor which comprises 12.5 ppm of the active compound. After the spray coating has dried on, the cabbage plants are populated with 10 caterpillars of the first stage of Plutella xylostella and introduced into a plastic container. Evaluation is carried out after 3 days. The reduction in the population in per cent and the reduction in the feeding damage in per cent (% activity) are determined by comparing the number of dead caterpillars and the feeding damage on the treated and the untreated plants.

In this test, the compounds of the Tables A1 to A4 and Tables 1 to 48 show good activity. Thus, in particular the compounds A1.1 to A4.2 are more than 80 % effective.

Example B5: Activity against Frankliniella occidentalis

In Petri dishes, discs of the leaves of beans are placed onto agar and sprayed with test solution which comprises 12.5 ppm of active compound in a spraying chamber. The leaves are then populated with a mixed population of Frankliniella occidentalis. Evaluation is carried out after 10 days. The reduction in per cent (% activity) is determined by comparing the population on the treated leaves with that of the untreated leaves.

In this test, the compounds of the Tables A1 to A4 and Tables 1 to 48 show good activity. Thus, in particular the compounds A1.1 to A4.2 are more than 80 % effective.

Example B6: Activity against Diabrotica balteata

Maize seedlings are sprayed with an aqueous emulsion spray liquor which comprises 12.5 ppm of active compound and, after the spray coating has dried on, populated with 10 larvae of the second stage of Diabrotica balteata and then introduced into a plastic container. After 6 days, the reduction in the population in per cent (% activity) is determined by comparing the dead larvae between the treated and the untreated plants.

In this test, the compounds of the Tables A1 to A4 and Tables 1 to 48 show good activity. Thus, in particular the compounds A1.1 to A4.2 are more than 80 % effective.

Example B7: Activity against Tetranychus urticae

Young bean plants are populated with a mixed population of Tetranychus urticae and, after 1 day, sprayed with an aqueous emulsion spray liquor which comprises 12.5 ppm of active compound, incubated at 25°C for 6 days and then evaluated. The reduction in the population in per cent (% activity) is determined by comparing the number of dead eggs, larvae and adults on the treated and on the untreated plants.

In this test, the compounds of the Tables A1 to A4 and Tables 1 to 48 show good activity. Thus, in particular the compounds A1.1 to A4.2 are more than 80 % effective.